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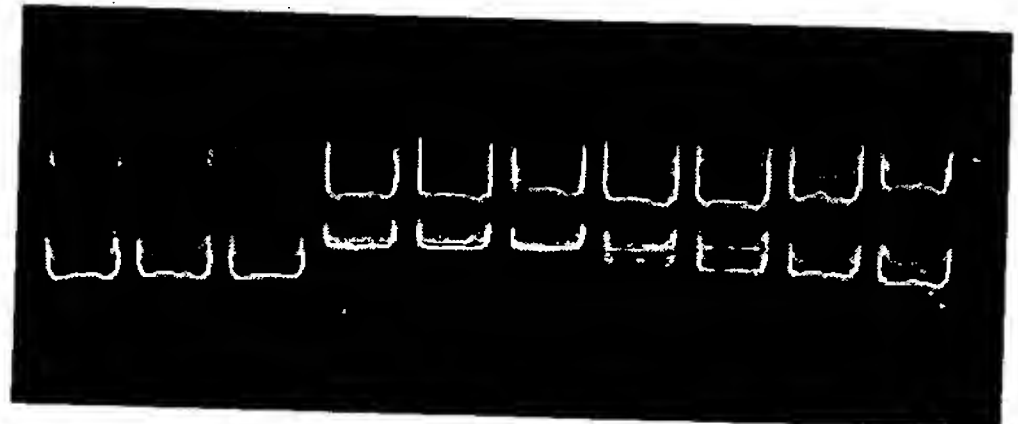
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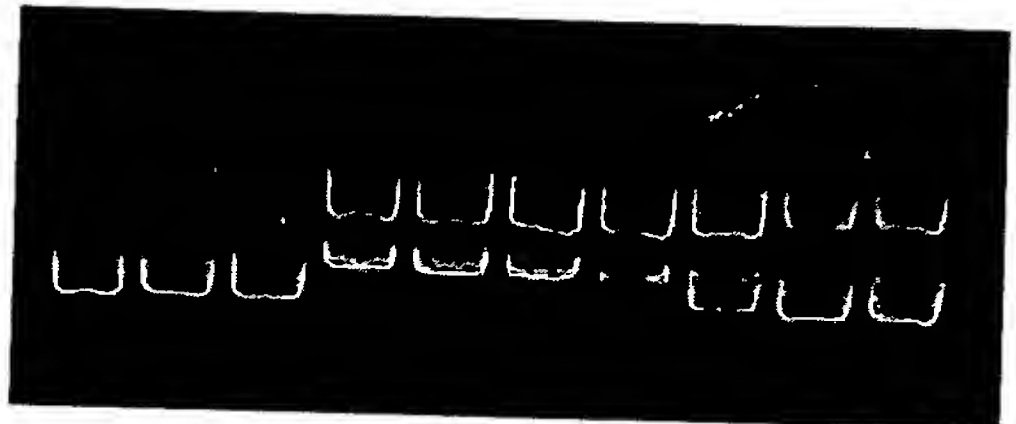
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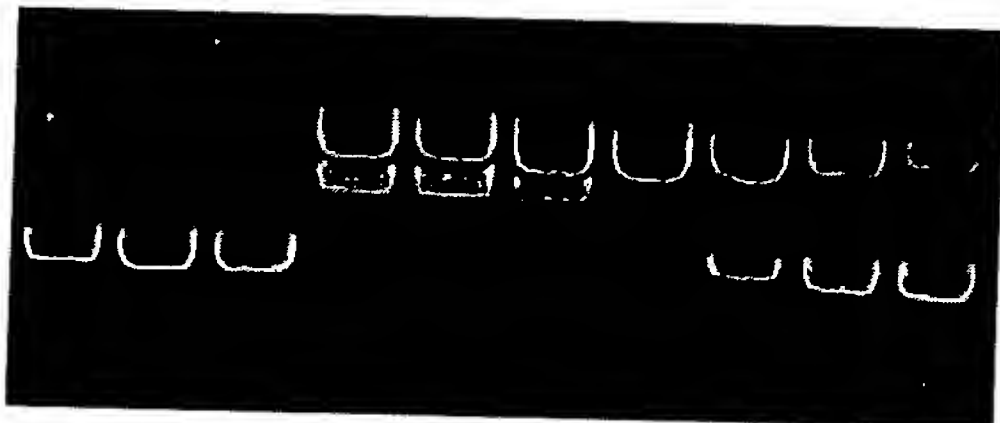
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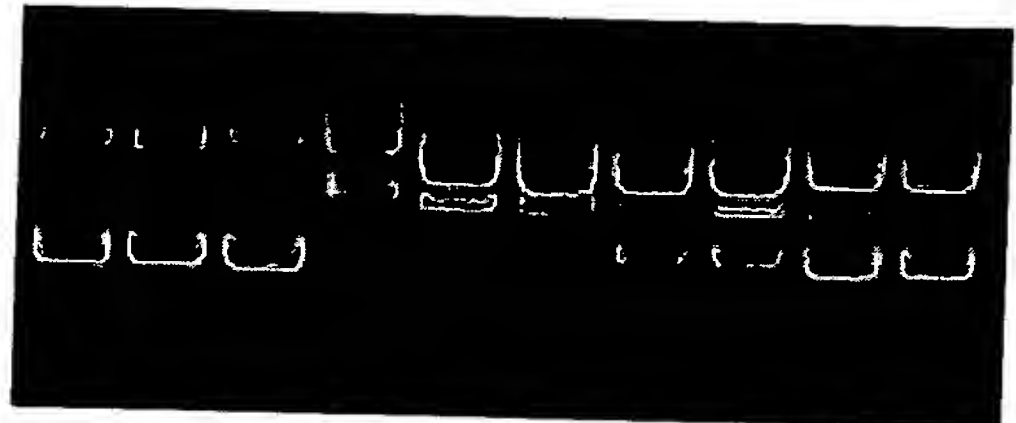
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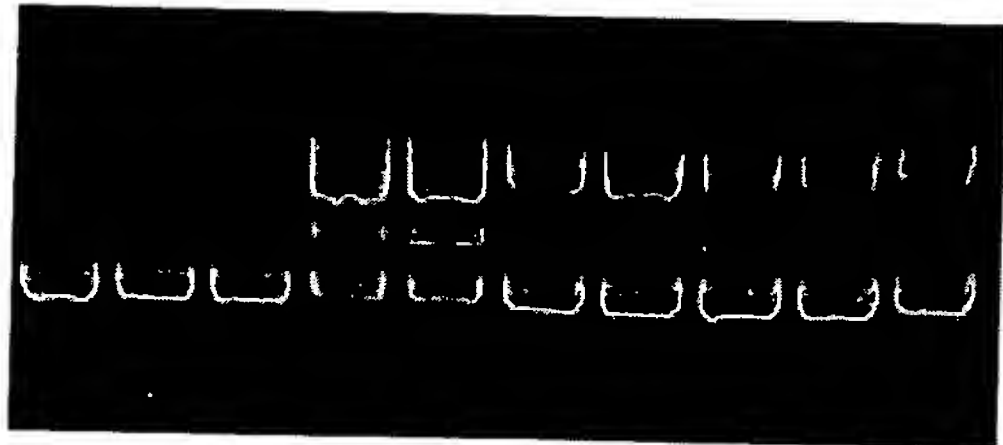
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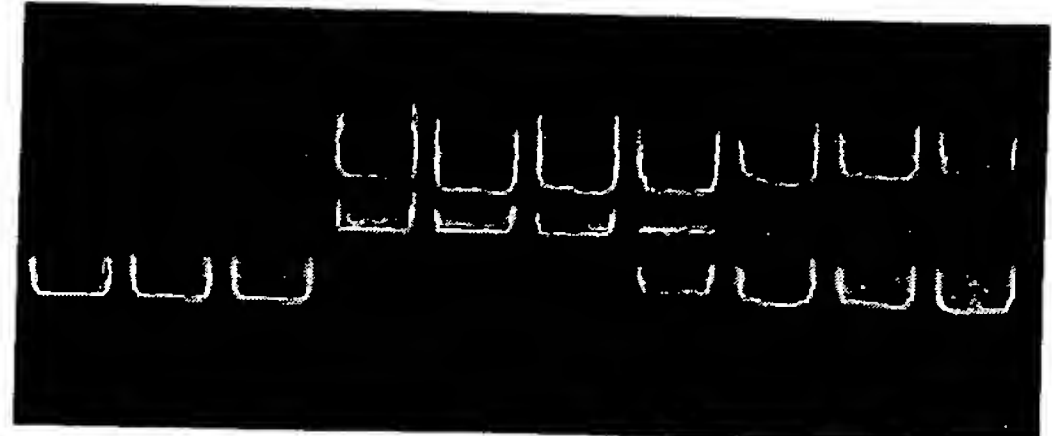
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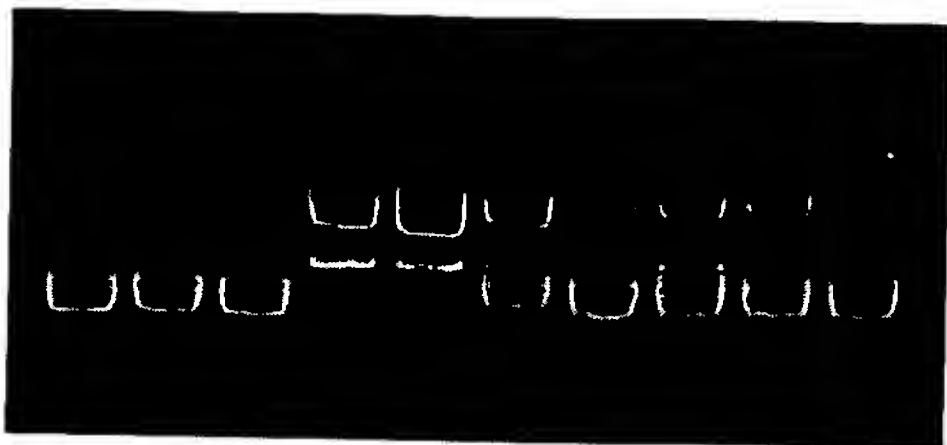


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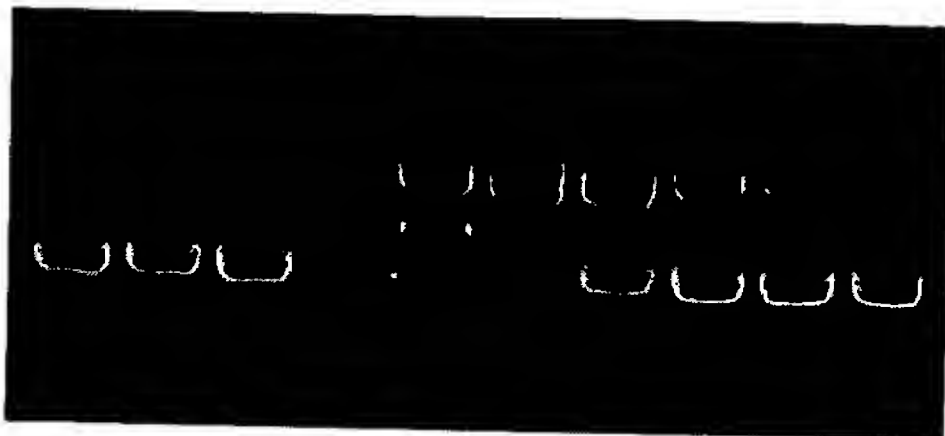
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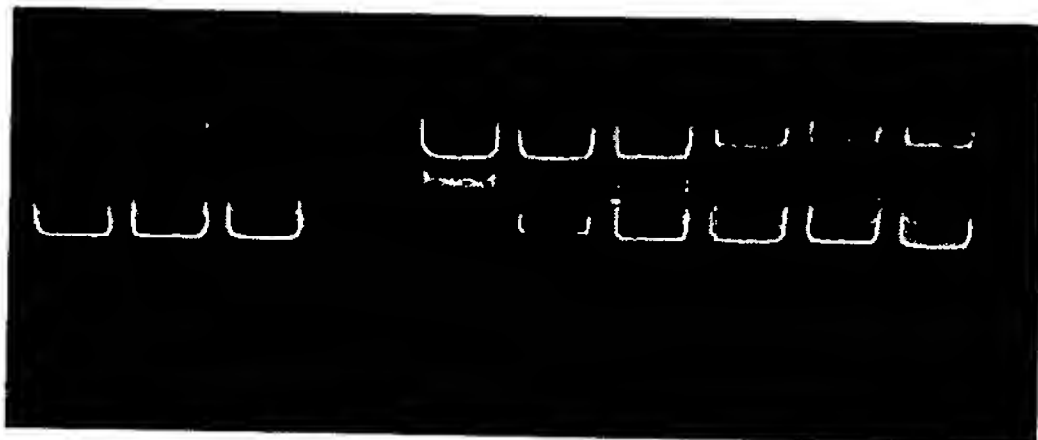
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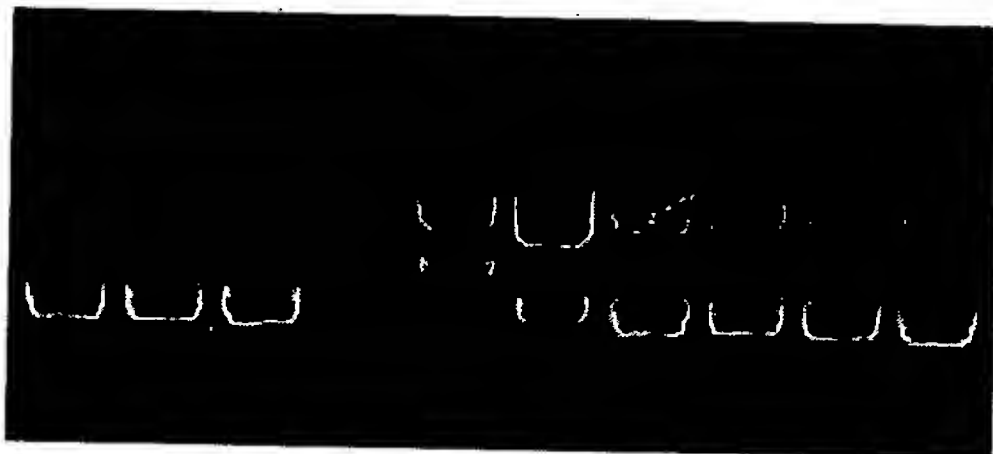
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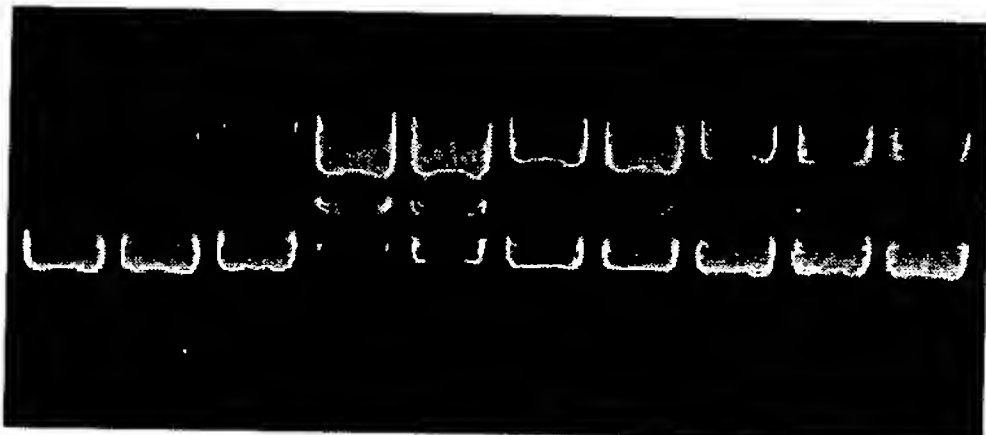
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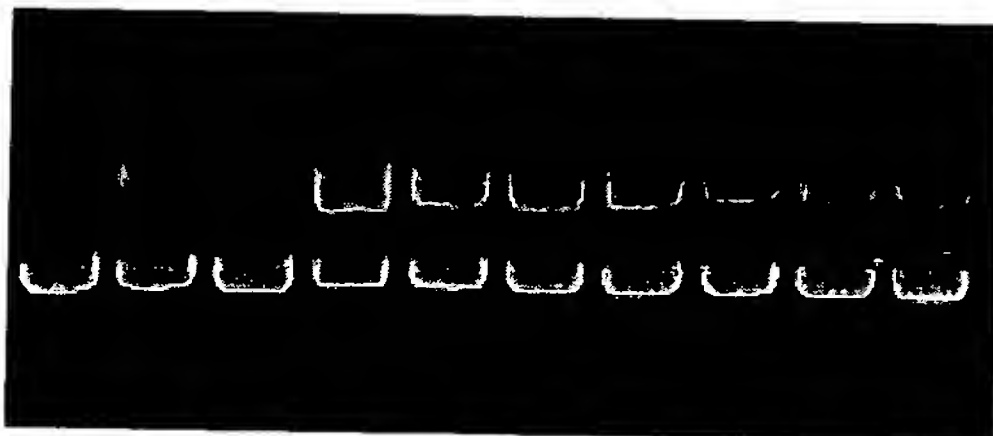
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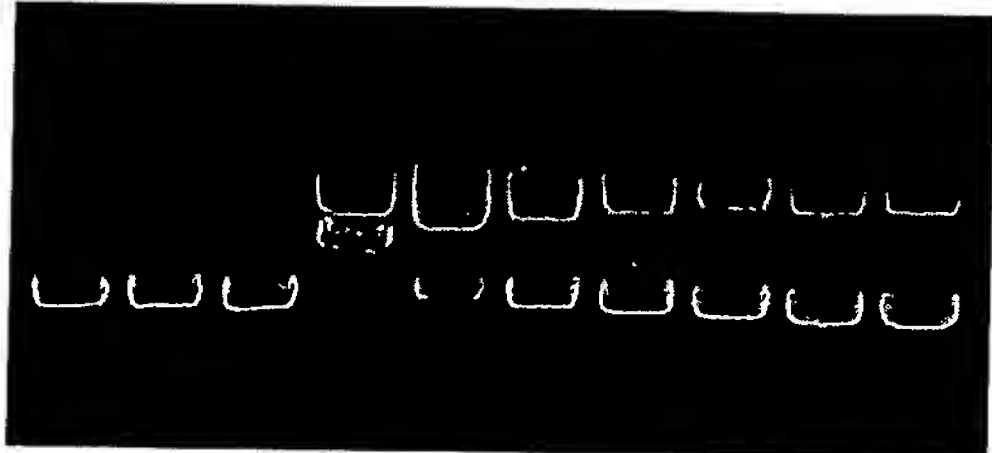
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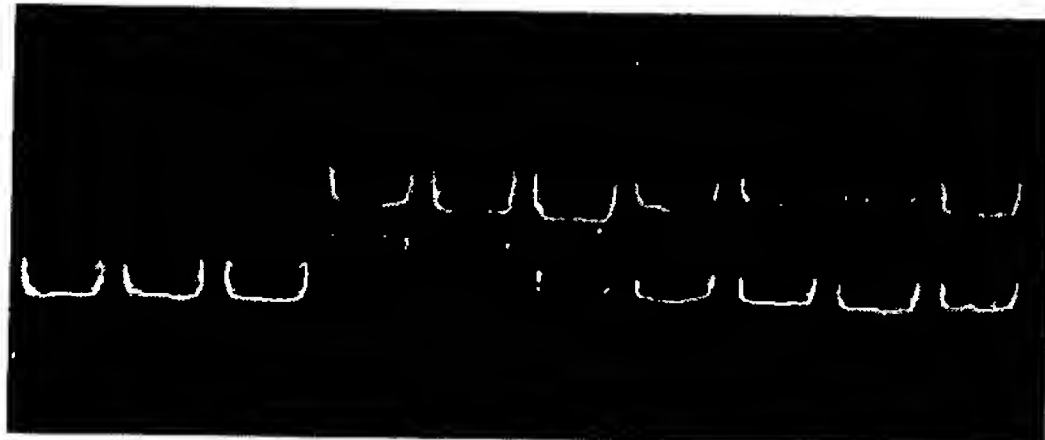
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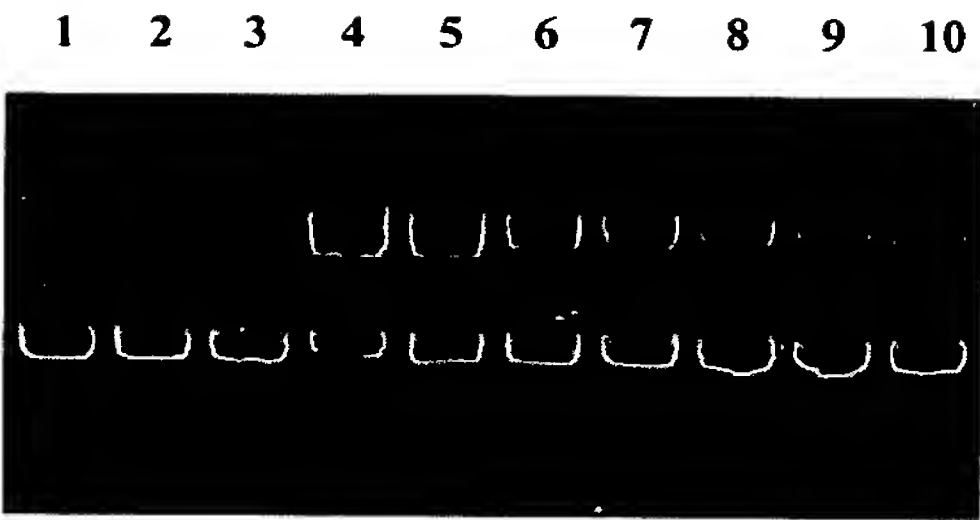
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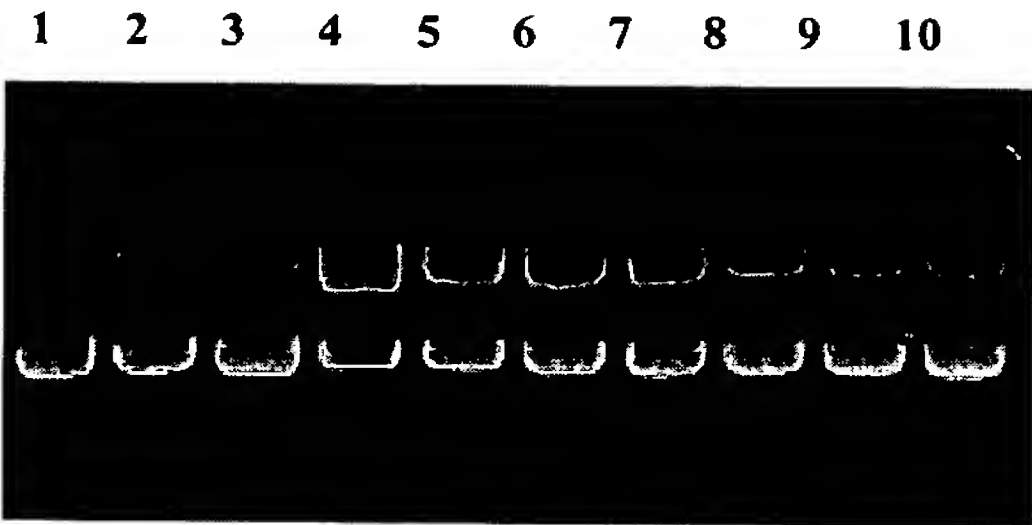


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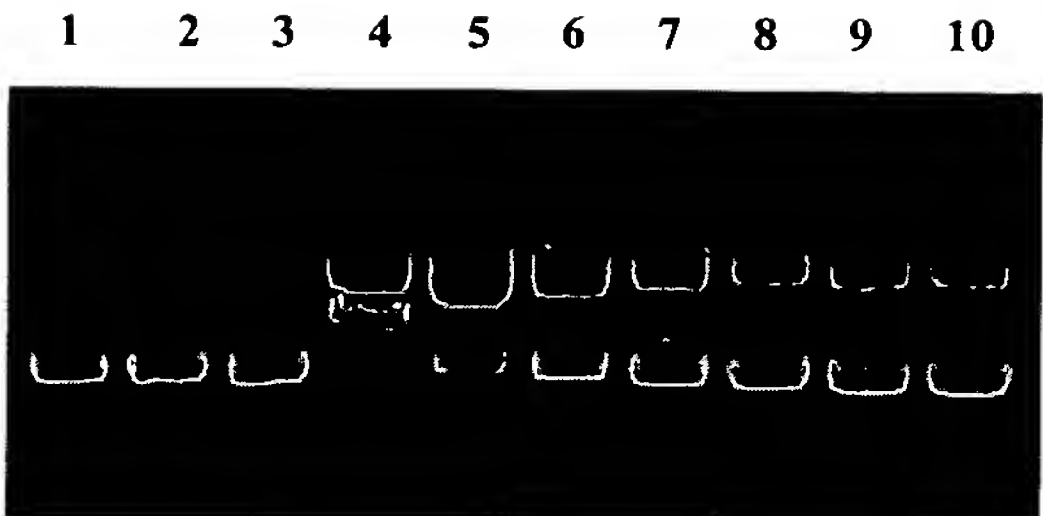
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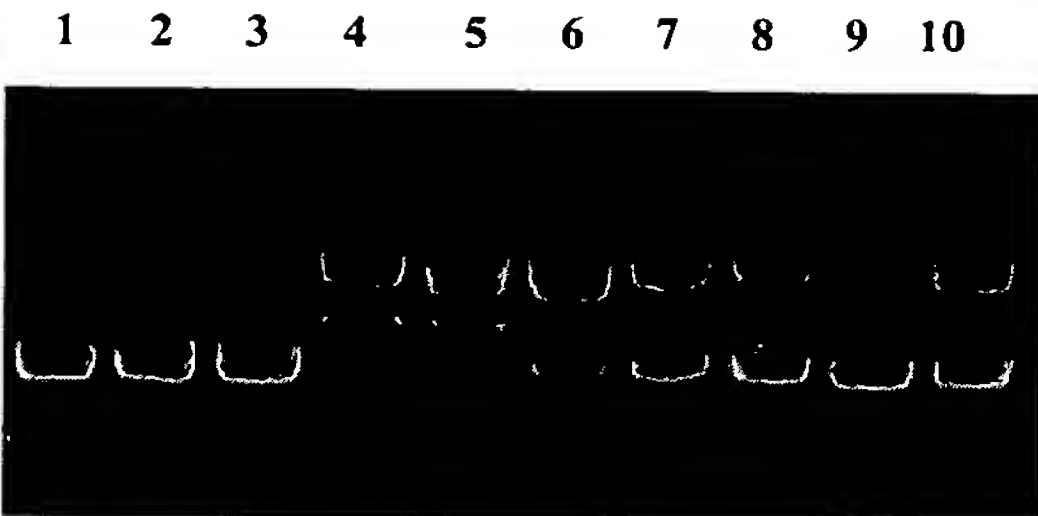
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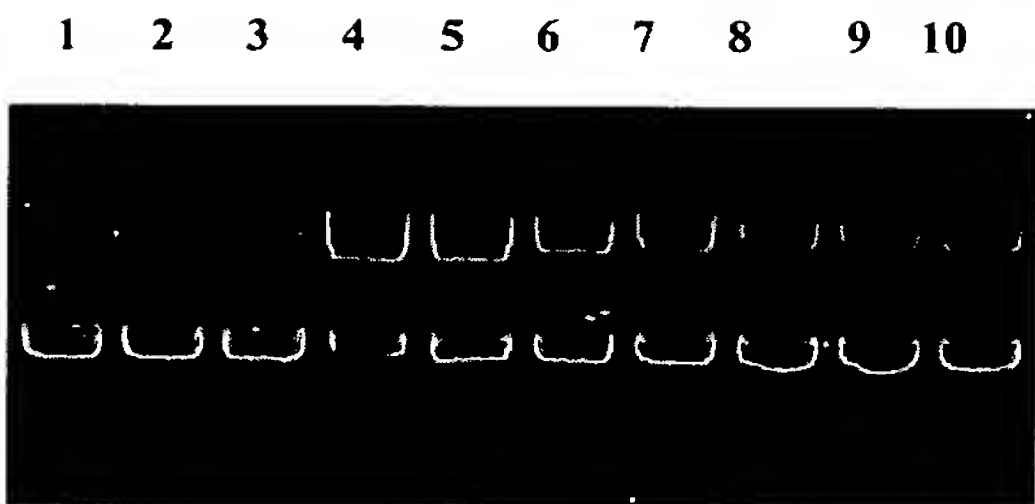
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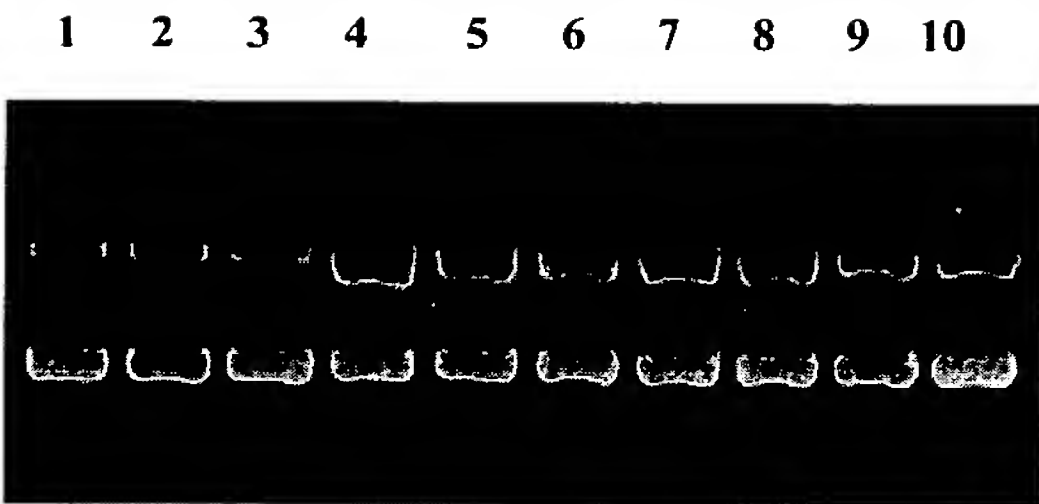
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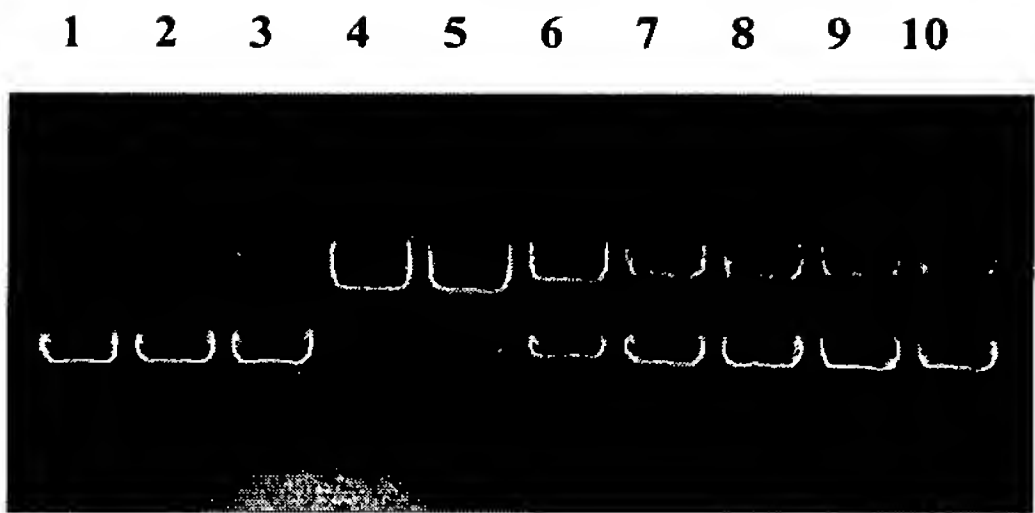
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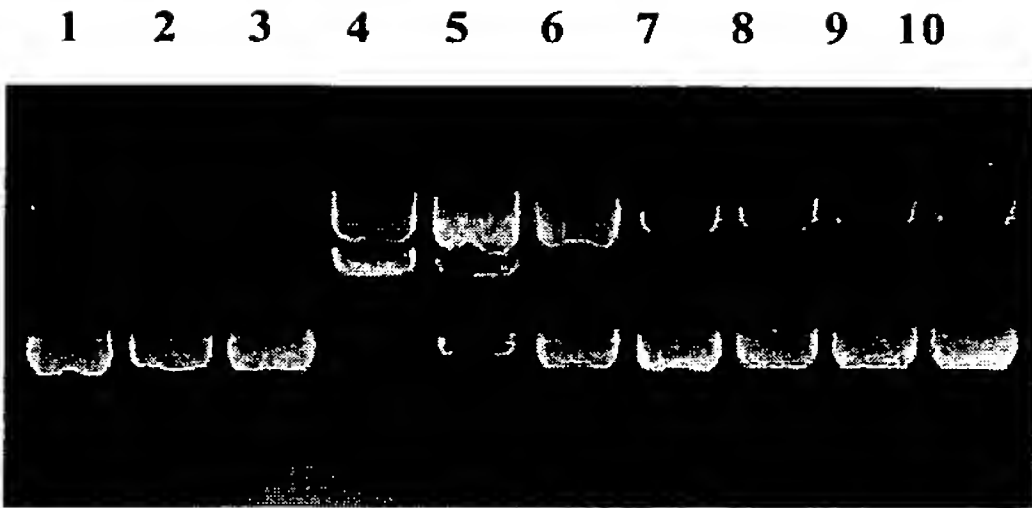
17



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Figure 1 illustrates the photocleavage of supercoiled pGBK by β -carboline derivatives.

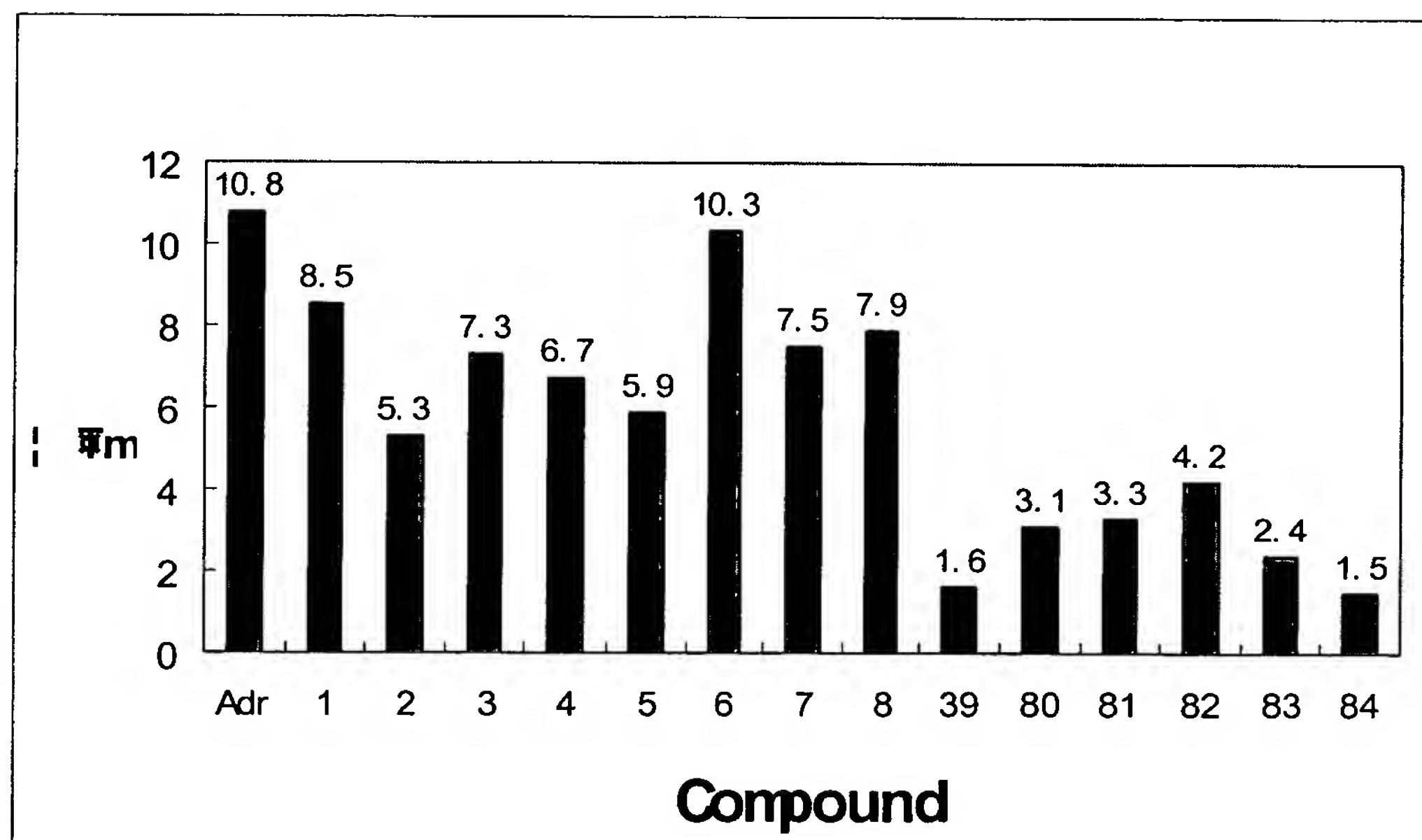


Figure 2 illustrates the effect of binding by β -carboline derivatives on the thermal stability of the CT-DNA.

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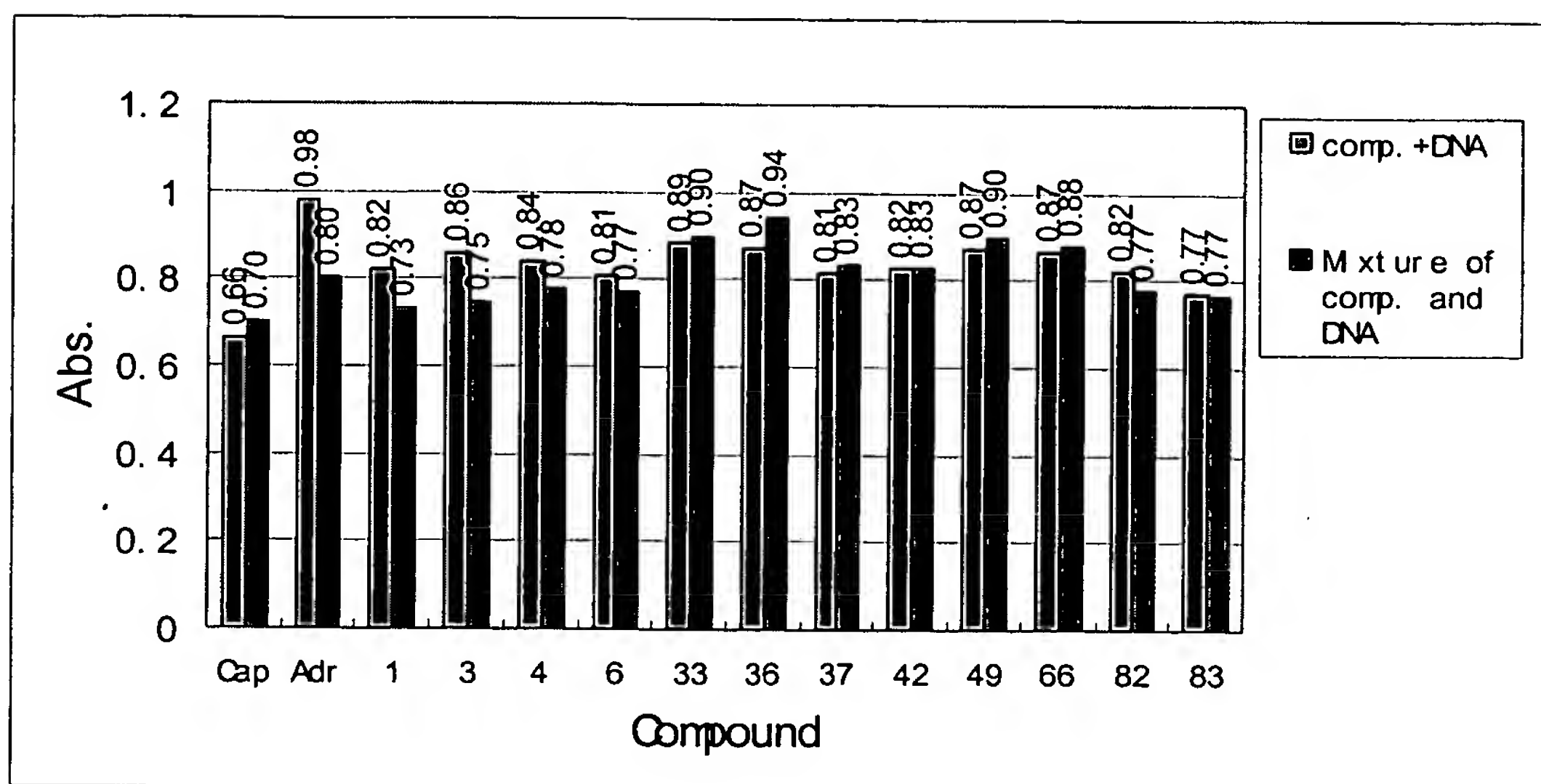
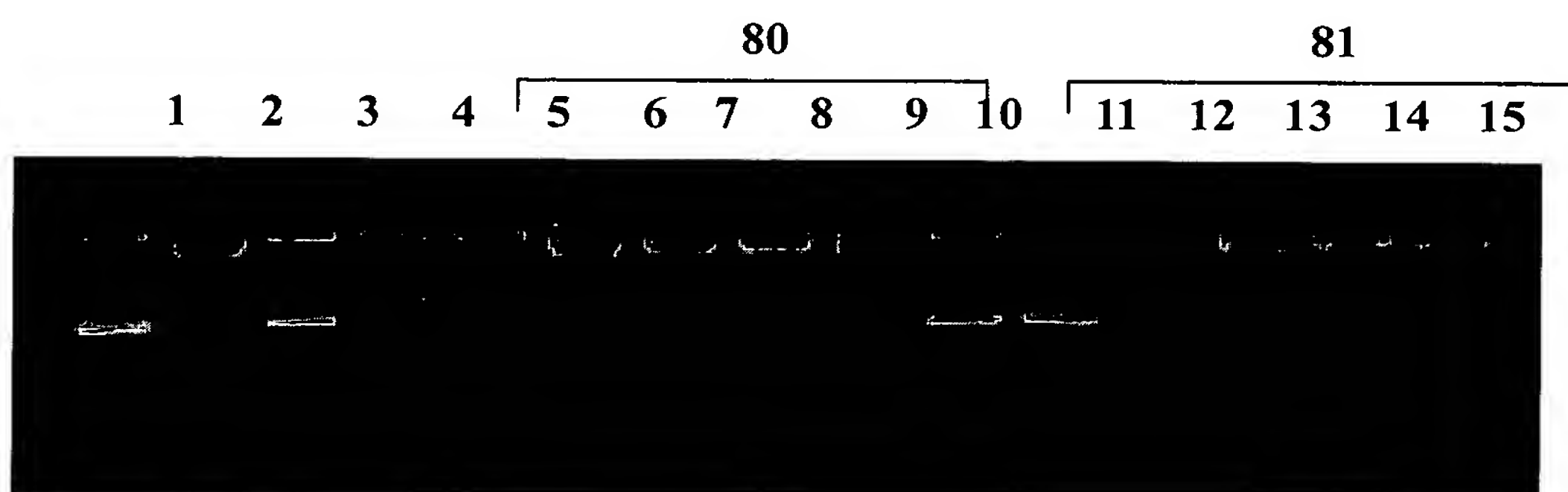
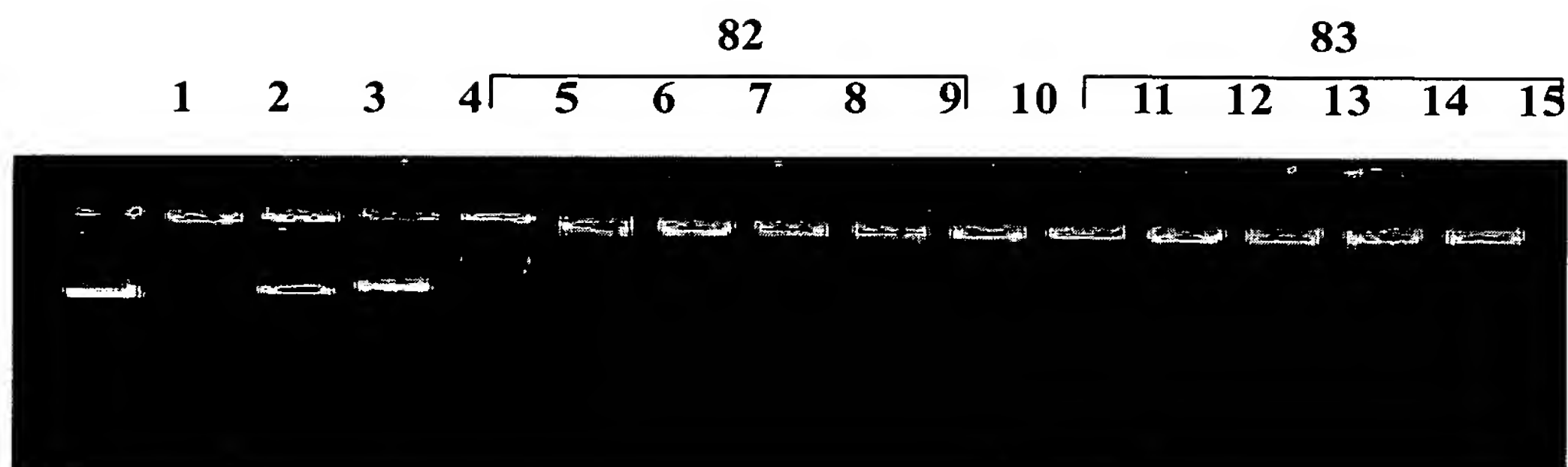


Figure 3 illustrates the effect of absorbance by β -carboline derivatives on the UV spectrum of the CT-DNA.

A.



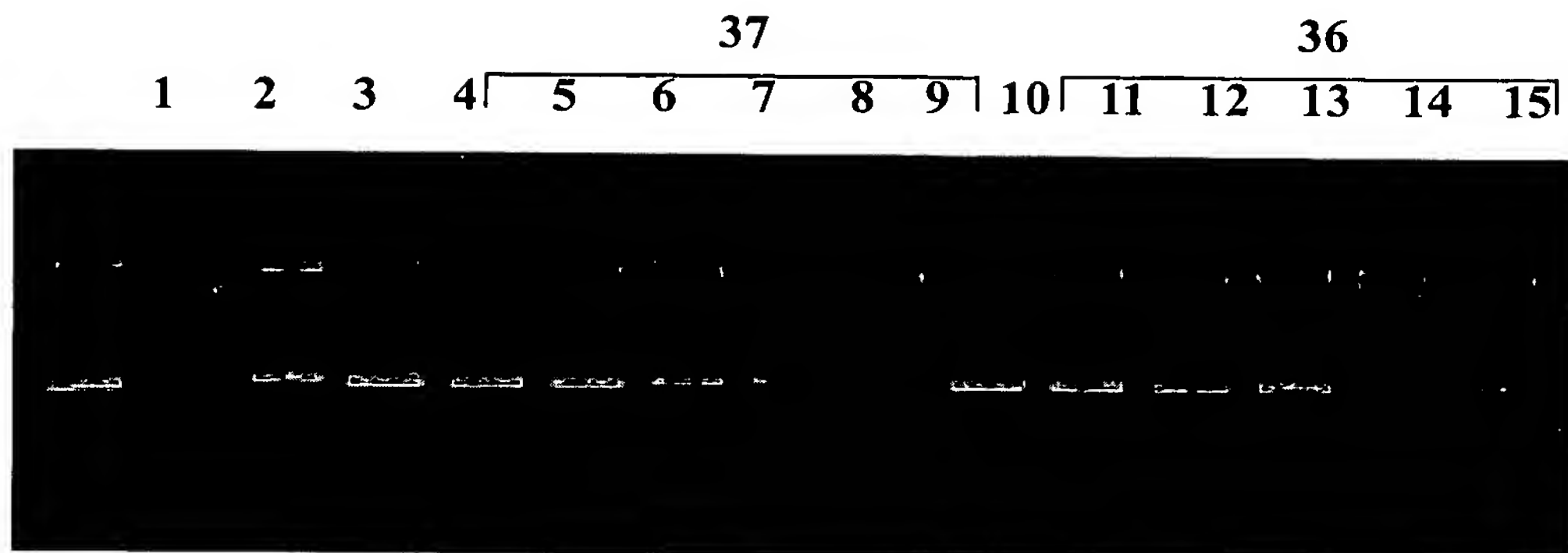
B.



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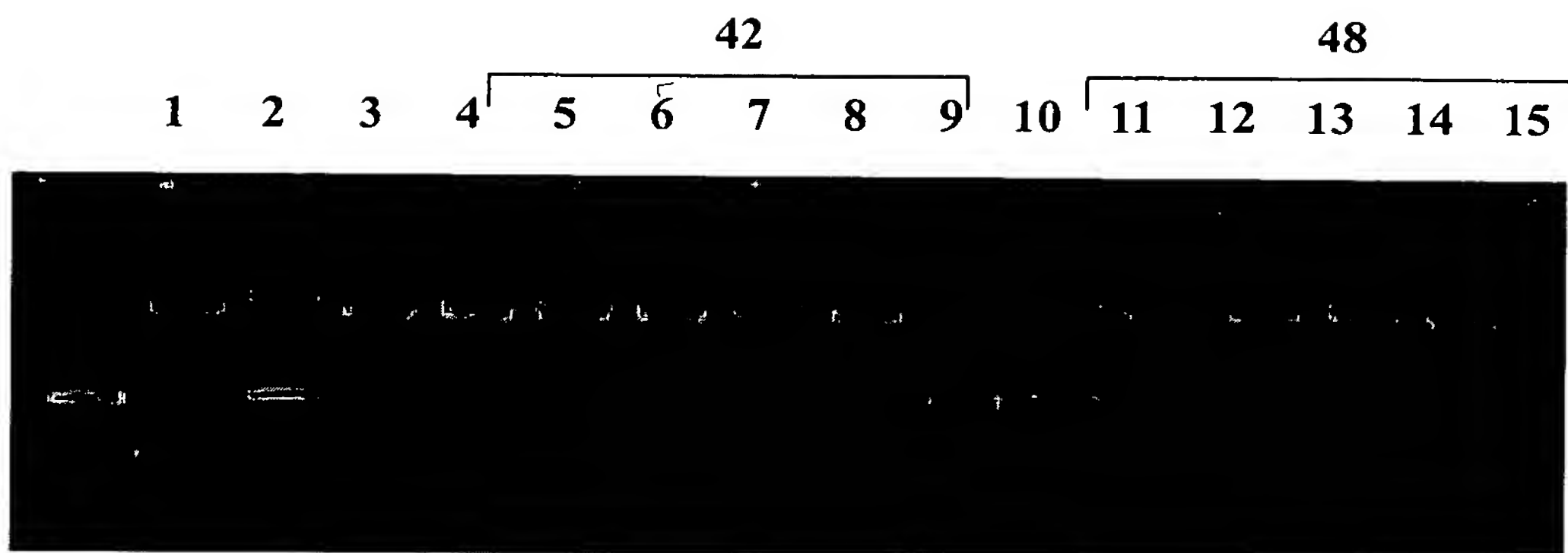
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C.



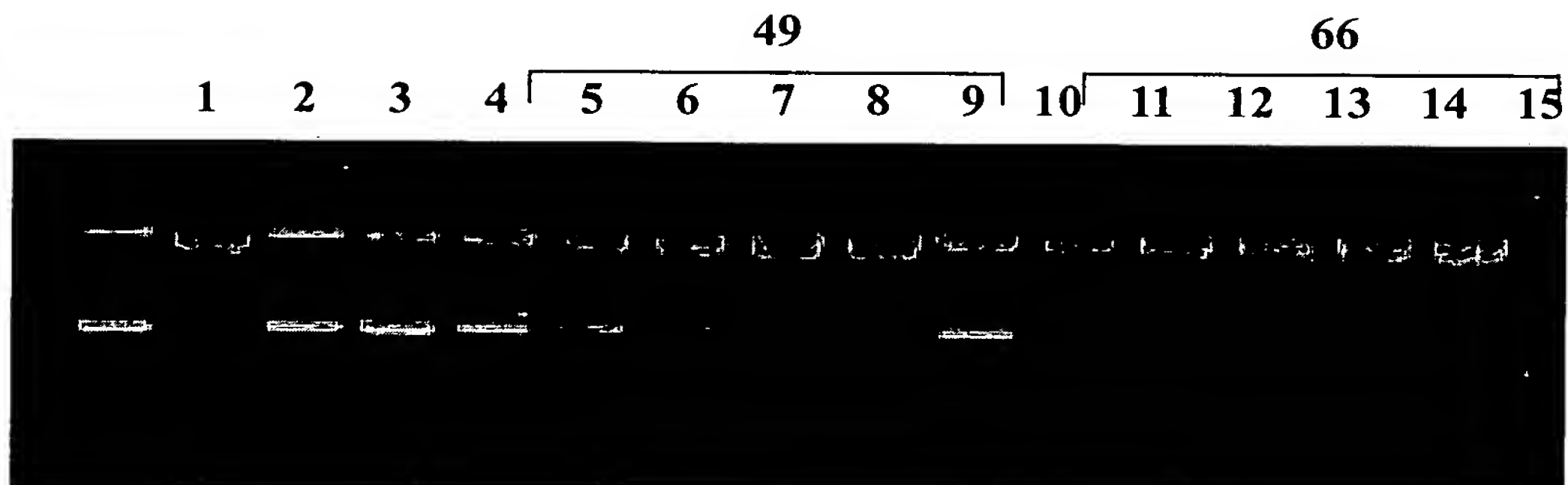
Form ☐
Form ☐
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D.



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E.



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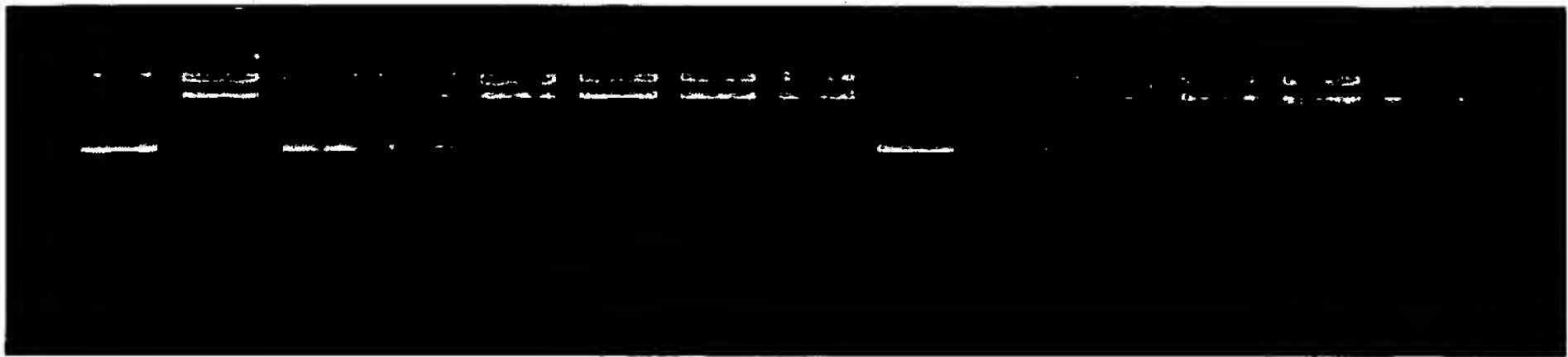
Figure 4 illustrates the effect of β -carboline derivatives on the activity of DNA topoisomerase I in a cell free system.

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A□

1 2 3 4 5 6 7 8 9 10 11 12 13 14

37 36



Form□
Form□
Form□

B.

1 2 3 4 5 6 7 8 9 10 11 12 13 14

49 66



Form□
Form□
Form□

C.

1 2 3 4 5 6 7 8 9 10 11 12 13 14

48 86

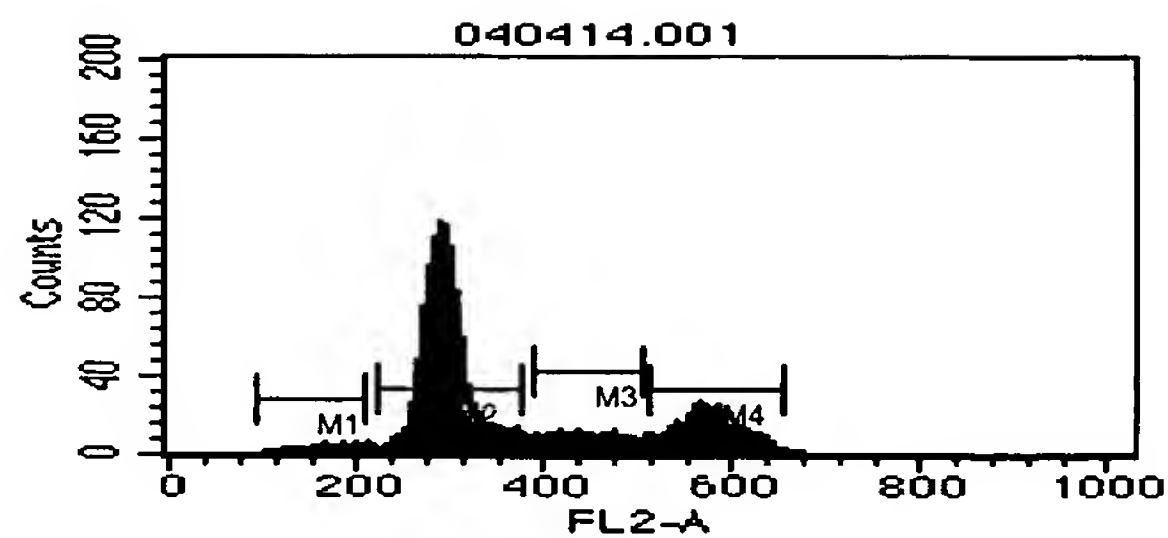


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Figure 5 illustrates the effect of β -carboline derivatives on the activity of DNA topoisomerase II in a cell free system.

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A. control

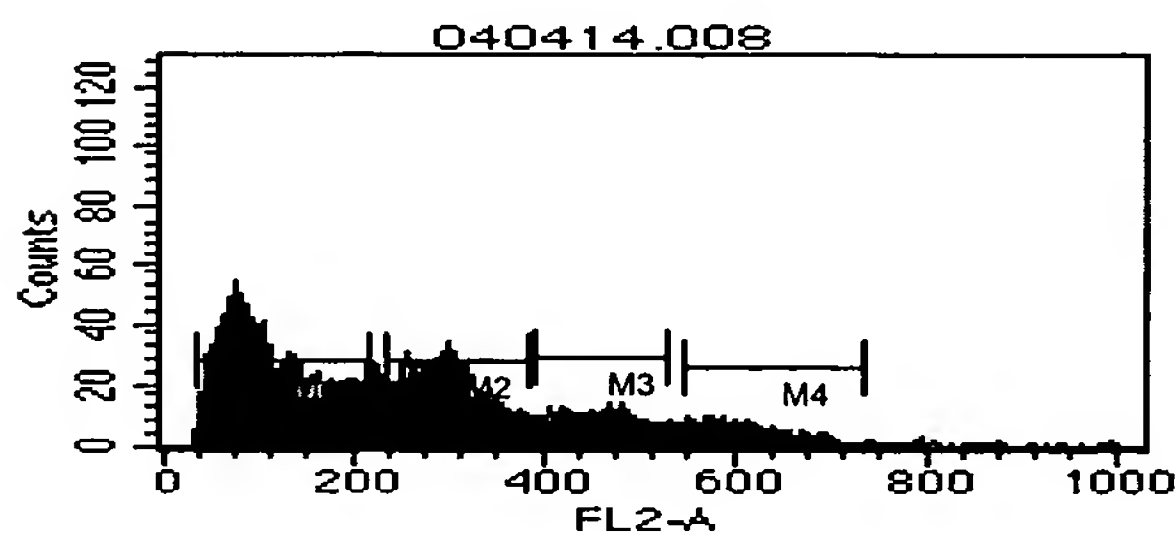


Histogram Statistics

File: 040414.001

Marker	% Gated	Peak Ch
All	100.00	285
M1	2.59	166
M2	66.62	285
M3	9.62	411
M4	18.86	561

B. 40ug/ml 48hr

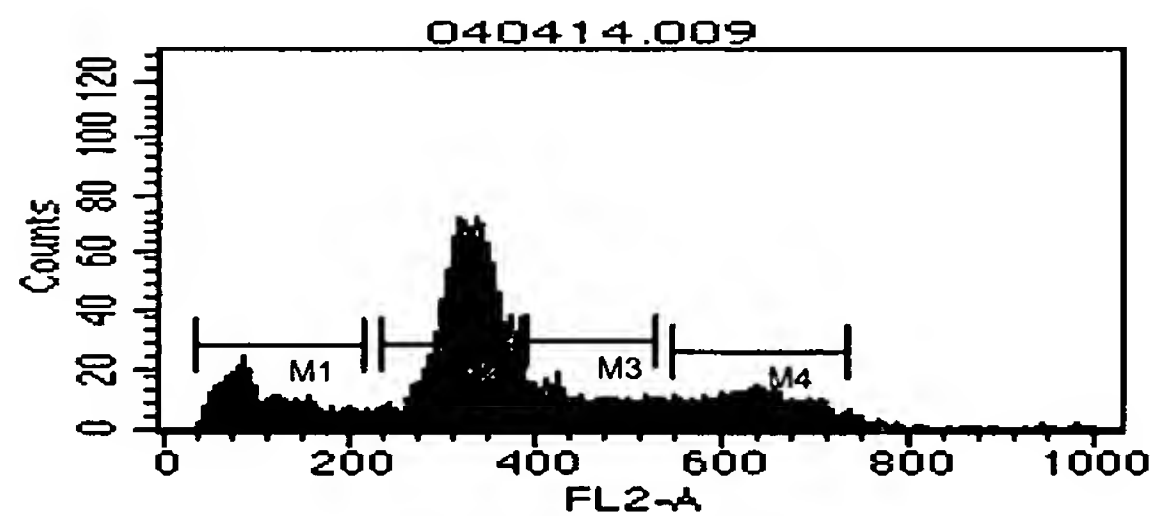


Histogram Statistics

File: 040414.008

Marker	% Gated	Peak Ch
All	100.00	74
M1	49.67	74
M2	28.83	296
M3	10.29	463
M4	6.22	565

C. 10ug/ml 48hr

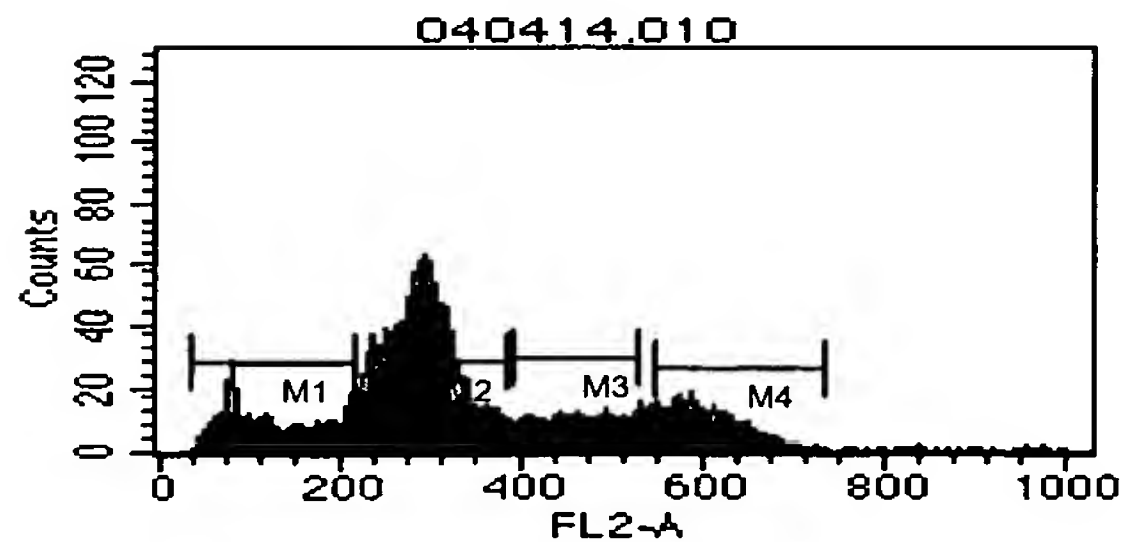


Histogram Statistics

File: 040414.009

Marker	% Gated	Peak Ch
All	100.00	318
M1	14.84	85
M2	56.41	318
M3	10.53	418
M4	14.95	629

D. 2.5ug/ml 48hr



Histogram Statistics

File: 040414.010

Marker	% Gated	Peak Ch
All	100.00	288
M1	15.80	79
M2	51.80	288
M3	13.02	524
M4	12.30	581

Figure 6 illustrates the FCM analysis of apoptosis of HepG2 cells induced by β -carboline derivative (Compound 60).

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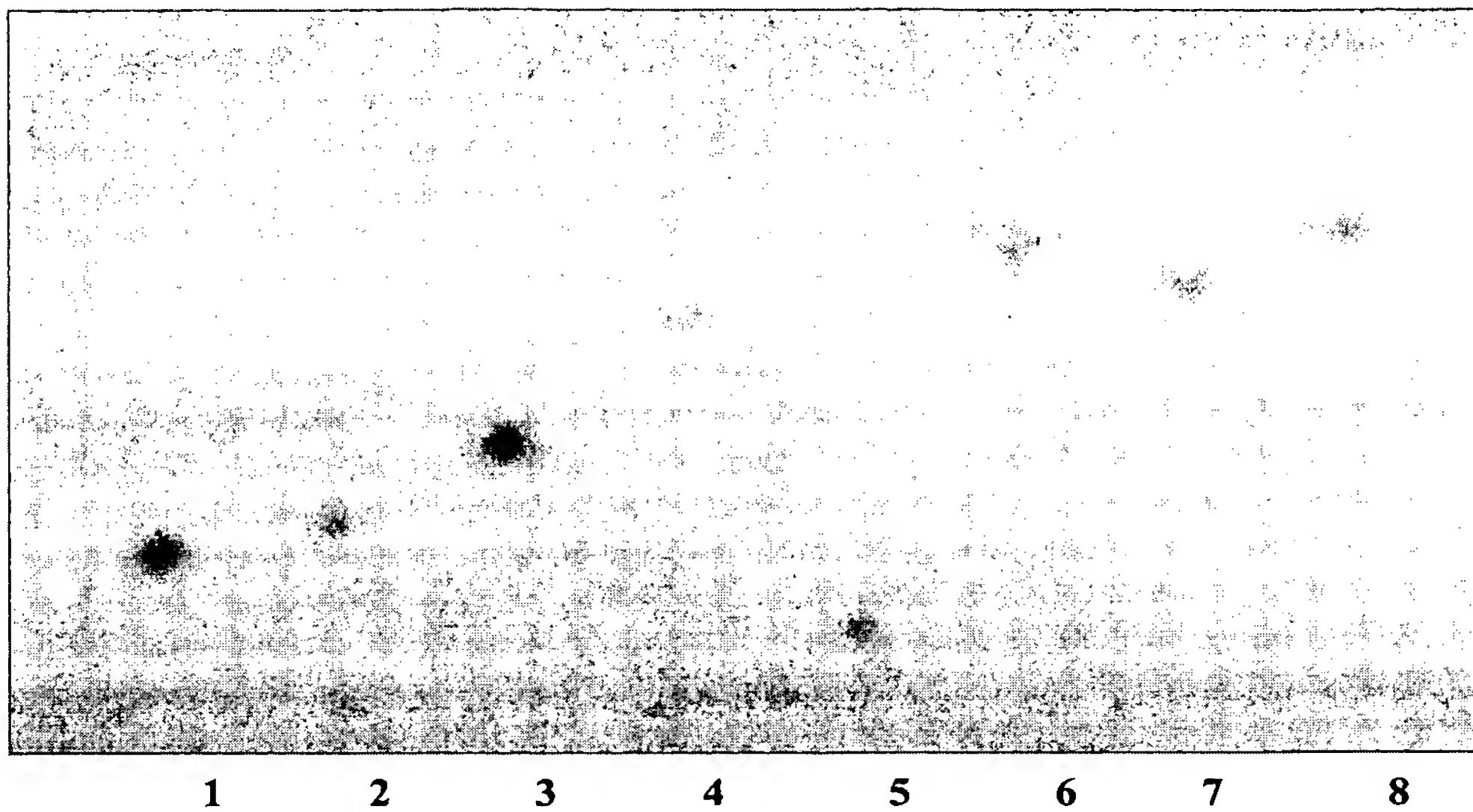


Figure 7 illustrates the TLC of harmine and 1,7,9-trisubstituted- β -carboline derivatives,

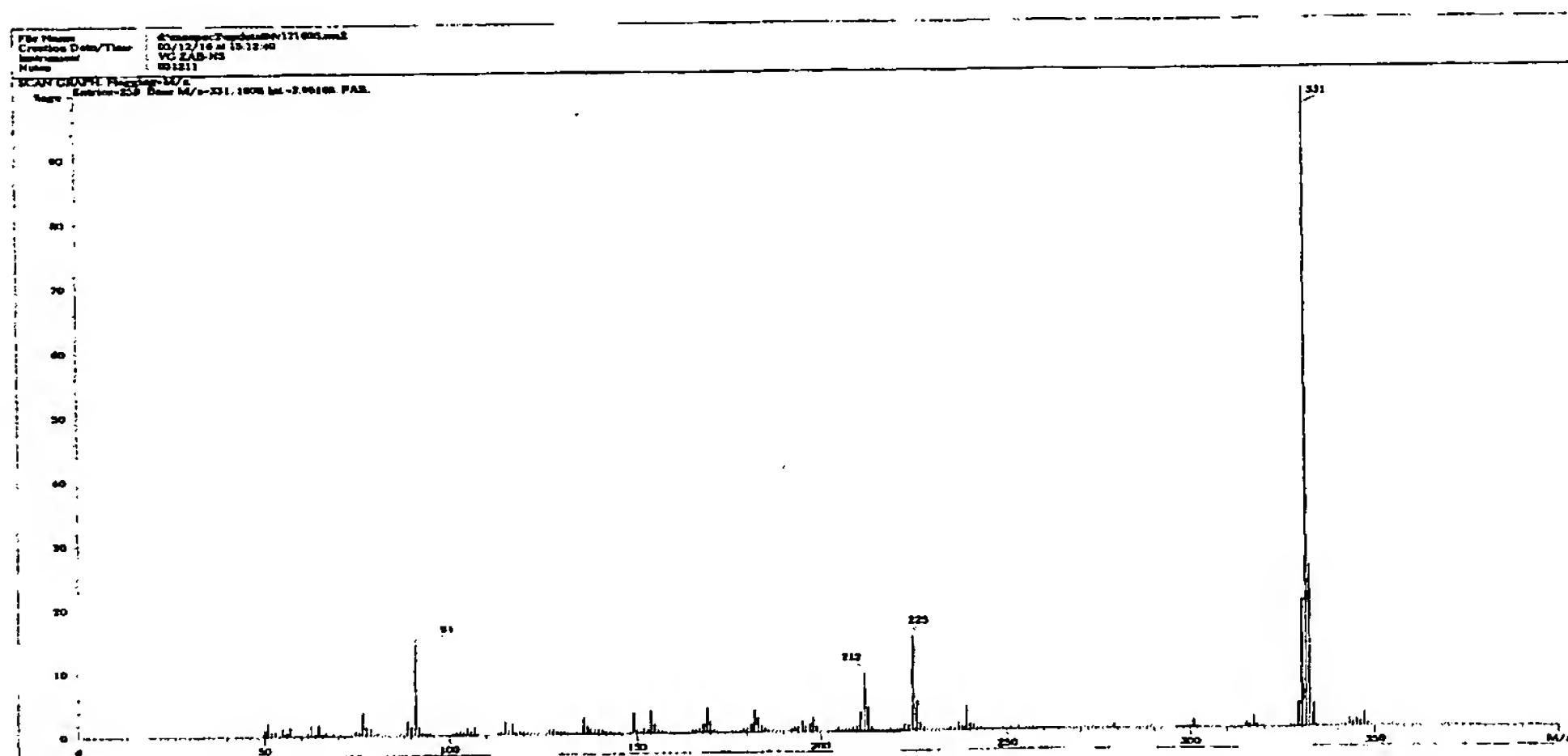


Figure 8 illustrates the FAB-MS spectrum of 9-phenylpropyl-7-methoxy-1-methyl- β -carboline.

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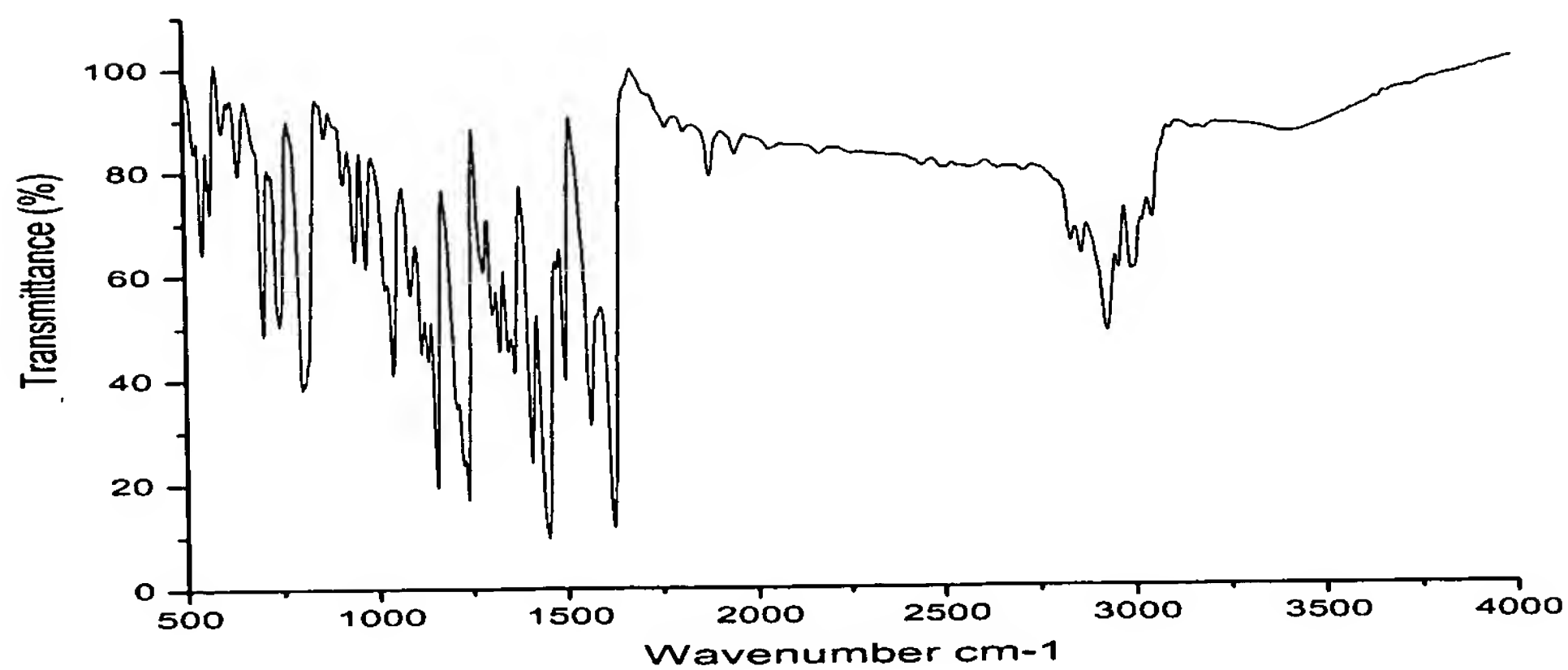


Figure 9 illustrates the IR spectrum of 9-phenylpropyl-7-methoxy-1-methyl- β -carboline.

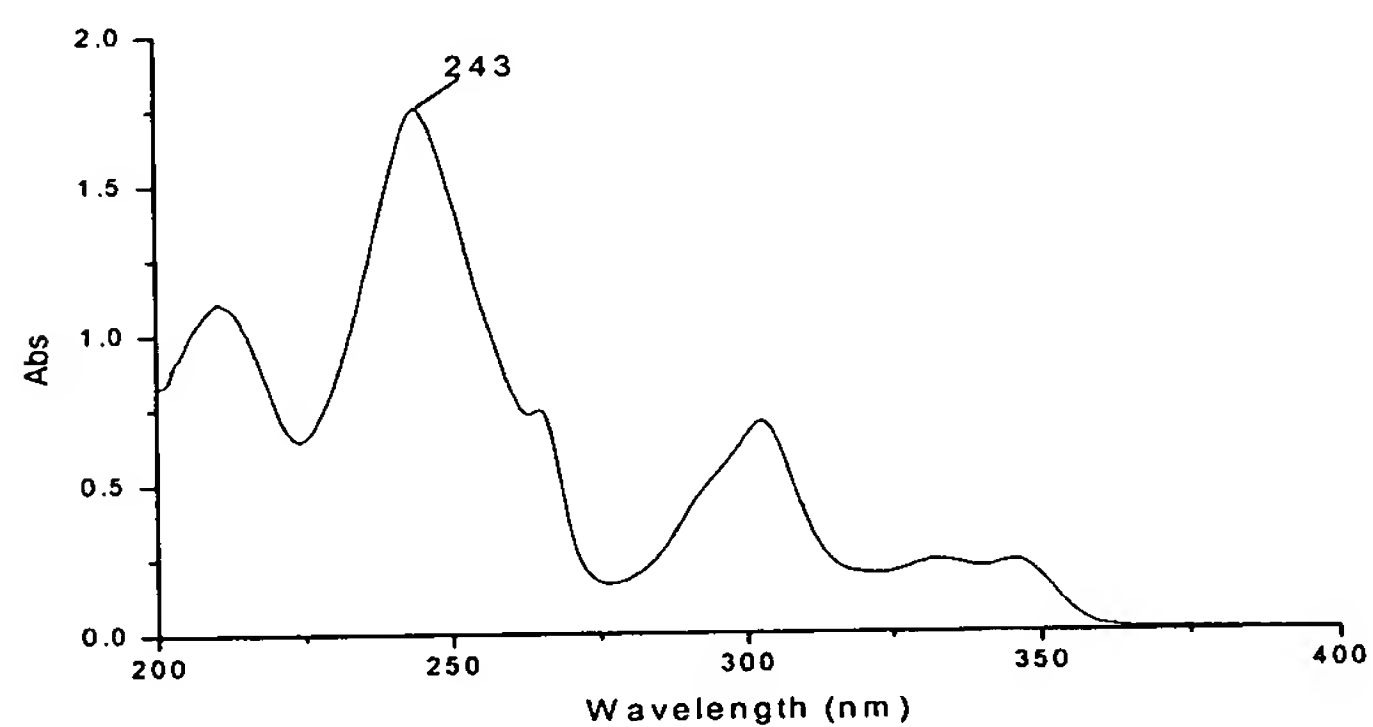


Figure 10 illustrates the UV spectrum of 9-phenylpropyl-7-methoxy-1-methyl- β -carboline.

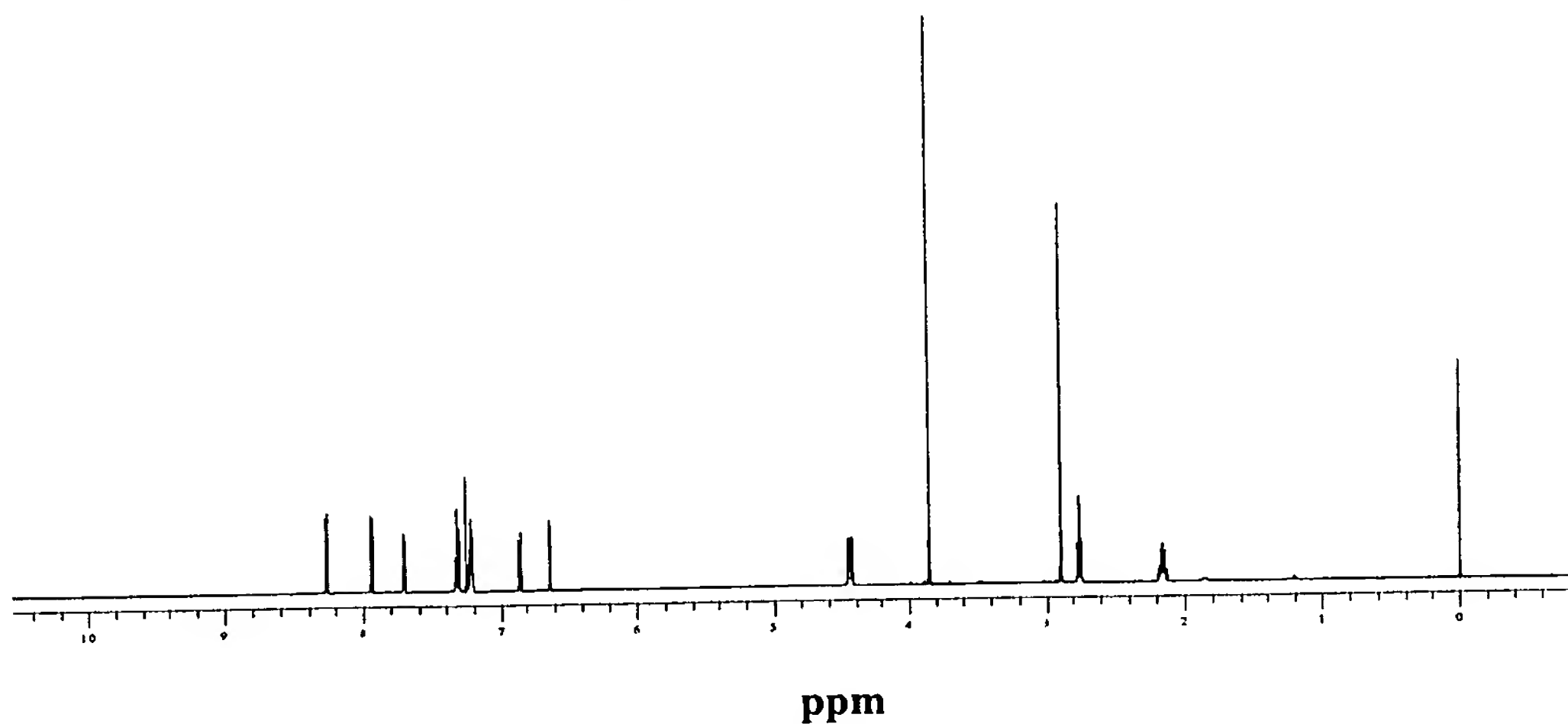


Figure 11 illustrates the ¹H- NMR spectrum of 9-phenylpropyl-7-methoxy-1-methyl- β -carboline.

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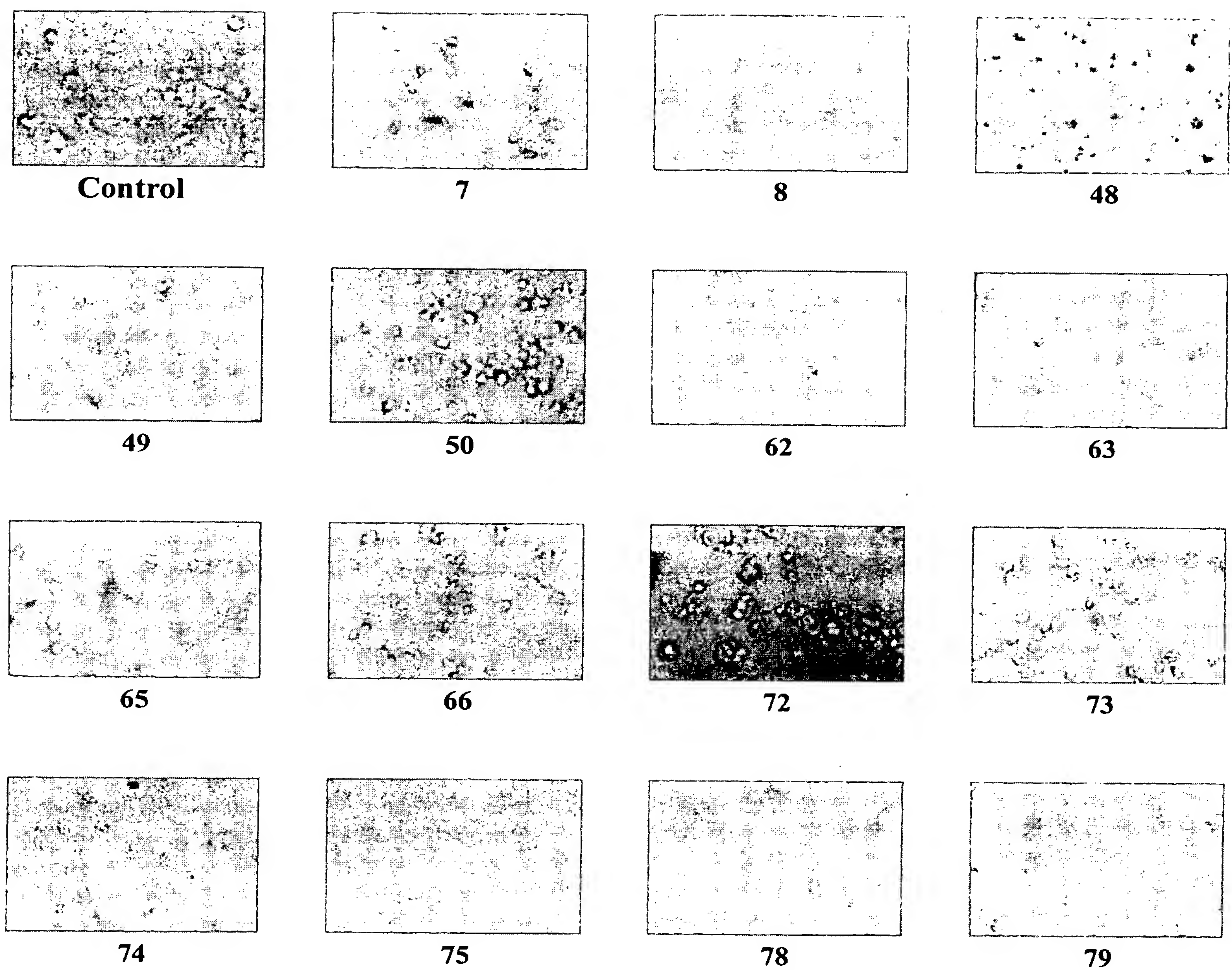
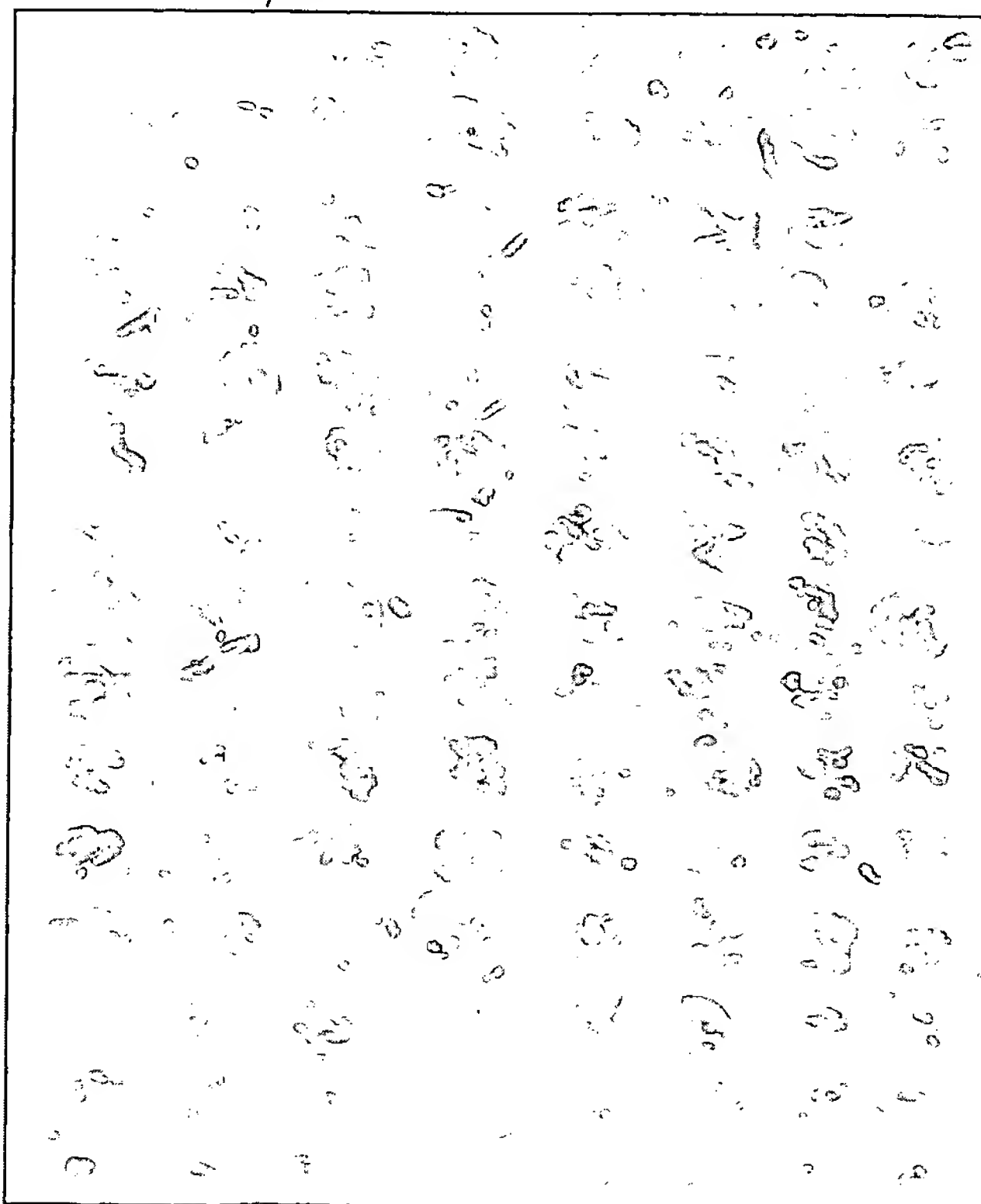


Figure 12 illustrates the photomicrographs of β -carboline derivatives to human tumor cell HepG2.

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Negative control (vehicle)
 Negative control (vehicle)
 Compound 42 100mg/kg
 Compound 42 50mg/kg
 Compound 36 100mg/kg
 Compound 36 50mg/kg
 Compound 16 100mg/kg
 Compound 16 50mg/kg
 Compound 48 100mg/kg
 Compound 48 50mg/kg
 Compound 86 20mg/kg
 Compound 86 10mg/kg
 Compound 33 100mg/kg
 Compound 33 50mg/kg
 positive control
 CTX 50mg/kg



Negative control (vehicle)
 Negative control (vehicle)
 Compound 37 50mg/kg
 Compound 37 25mg/kg
 Compound 55 100mg/kg
 Compound 55 50mg/kg
 Compound 84 100mg/kg
 Compound 84 50mg/kg
 Compound 11 50mg/kg
 Compound 11 25mg/kg
 Compound 33 100mg/kg
 Compound 33 50mg/kg
 positive control
 CTX 50mg/kg

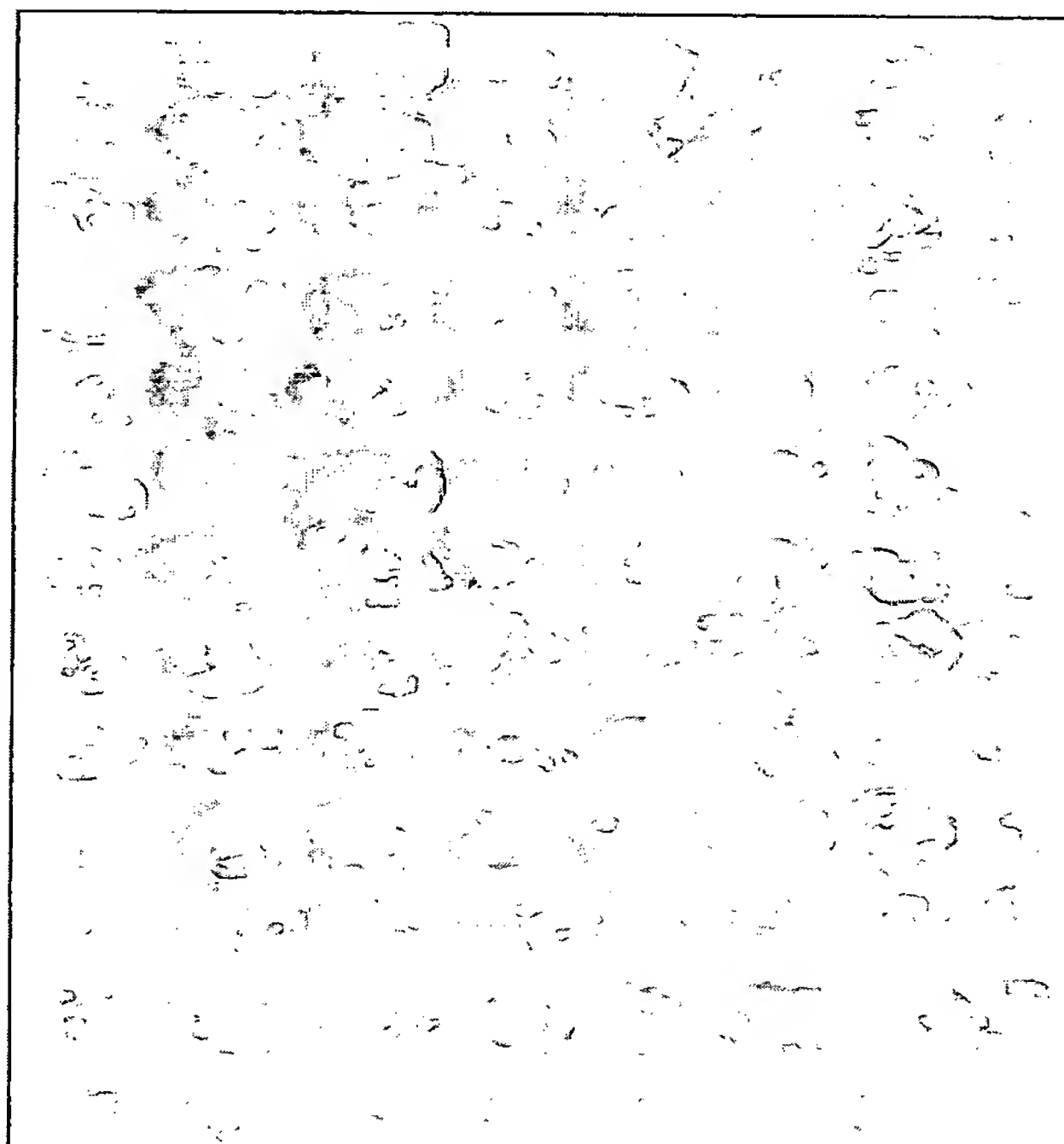
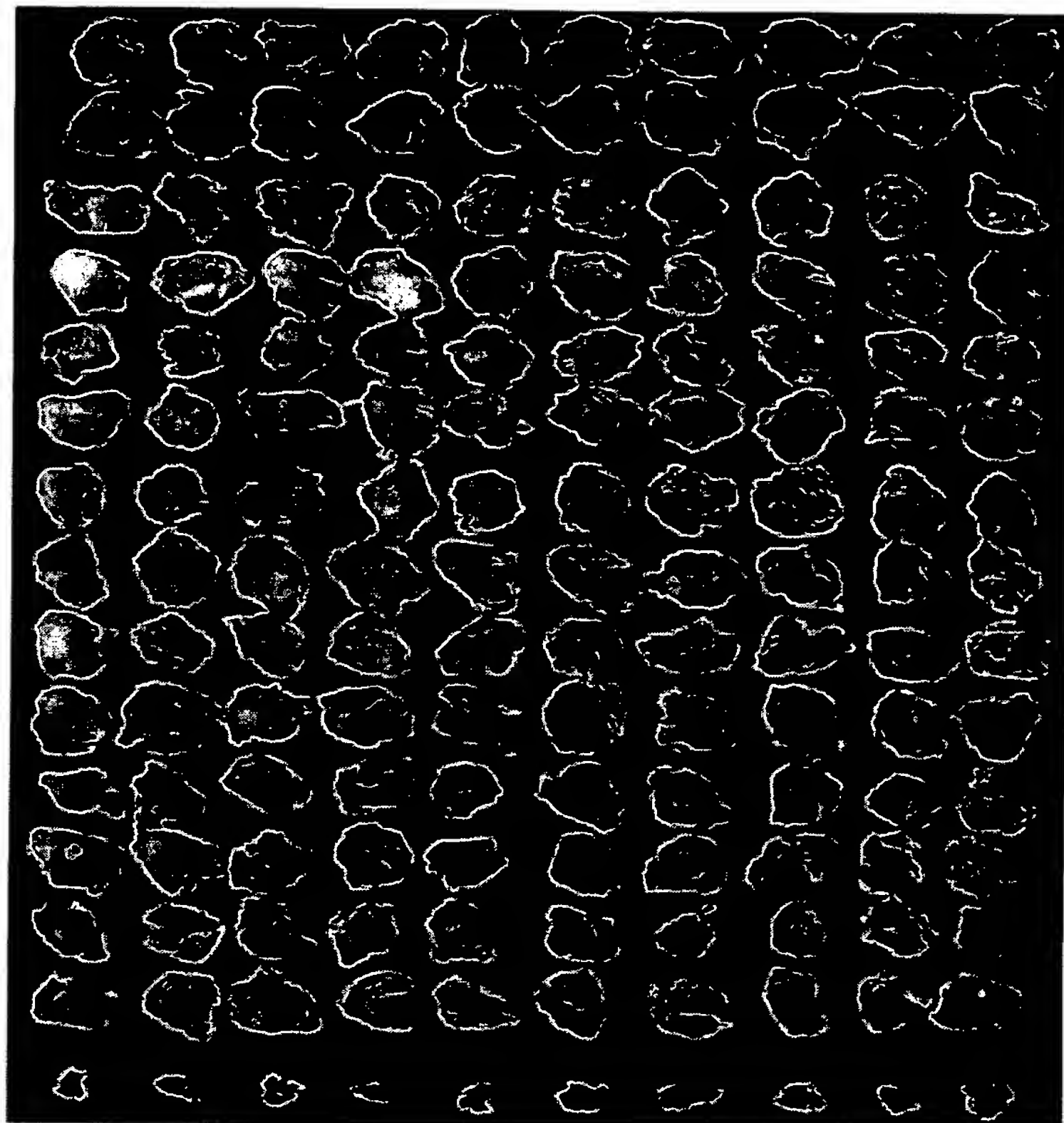


Figure 13 illustrates the anti-tumor effect of β -carboline derivatives on Lewis lung cancer.

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Negative control (vehicle)
 Negative control (vehicle)
 Compound 42 100mg/kg
 Compound 42 50mg/kg
 Compound 36 100mg/kg
 Compound 36 50mg/kg
 Compound 16 100mg/kg
 Compound 16 50mg/kg
 Compound 48 100mg/kg
 Compound 48 50mg/kg
 Compound 86 20mg/kg
 Compound 86 10mg/kg
 Compound 33 100mg/kg
 Compound 33 50mg/kg
 positive control
 CTX 50mg/kg



Negative control (vehicle)
 Negative control (vehicle)
 Compound 37 50mg/kg
 Compound 37 25mg/kg
 Compound 55 100mg/kg
 Compound 55 50mg/kg
 Compound 84 100mg/kg
 Compound 84 50mg/kg
 Compound 11 50mg/kg
 Compound 11 25mg/kg
 Compound 33 100mg/kg
 Compound 33 50mg/kg
 positive control
 CTX 50mg/kg

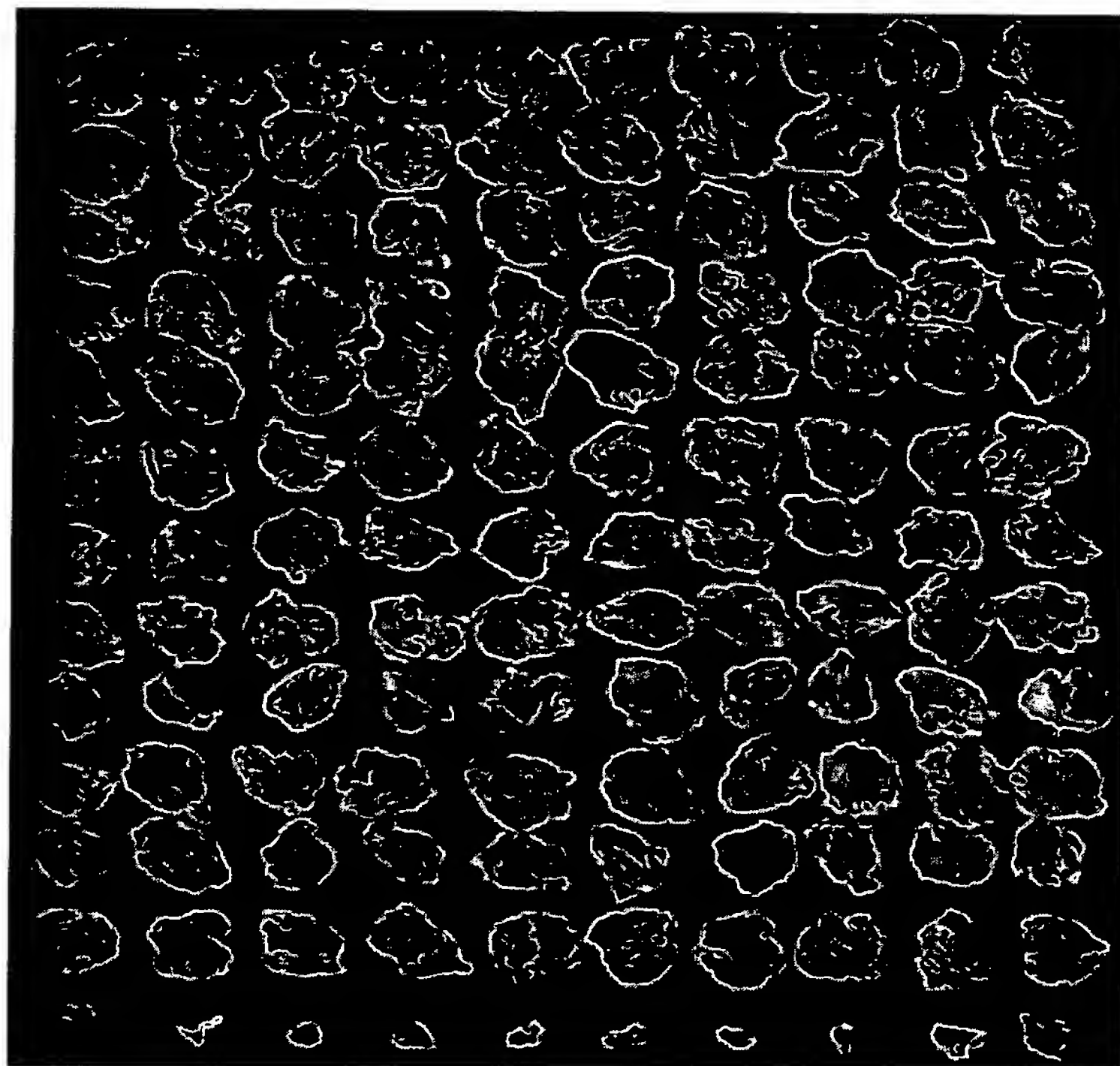
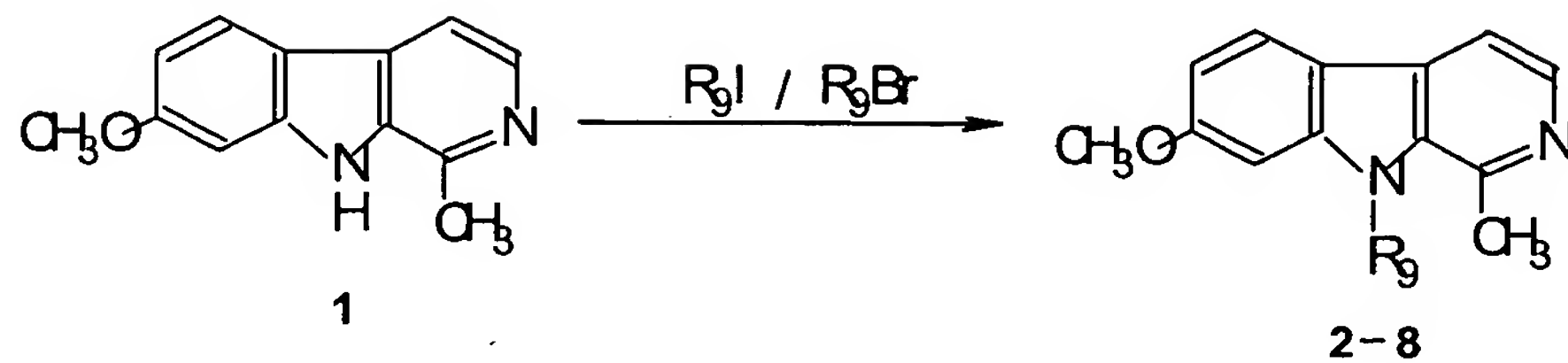


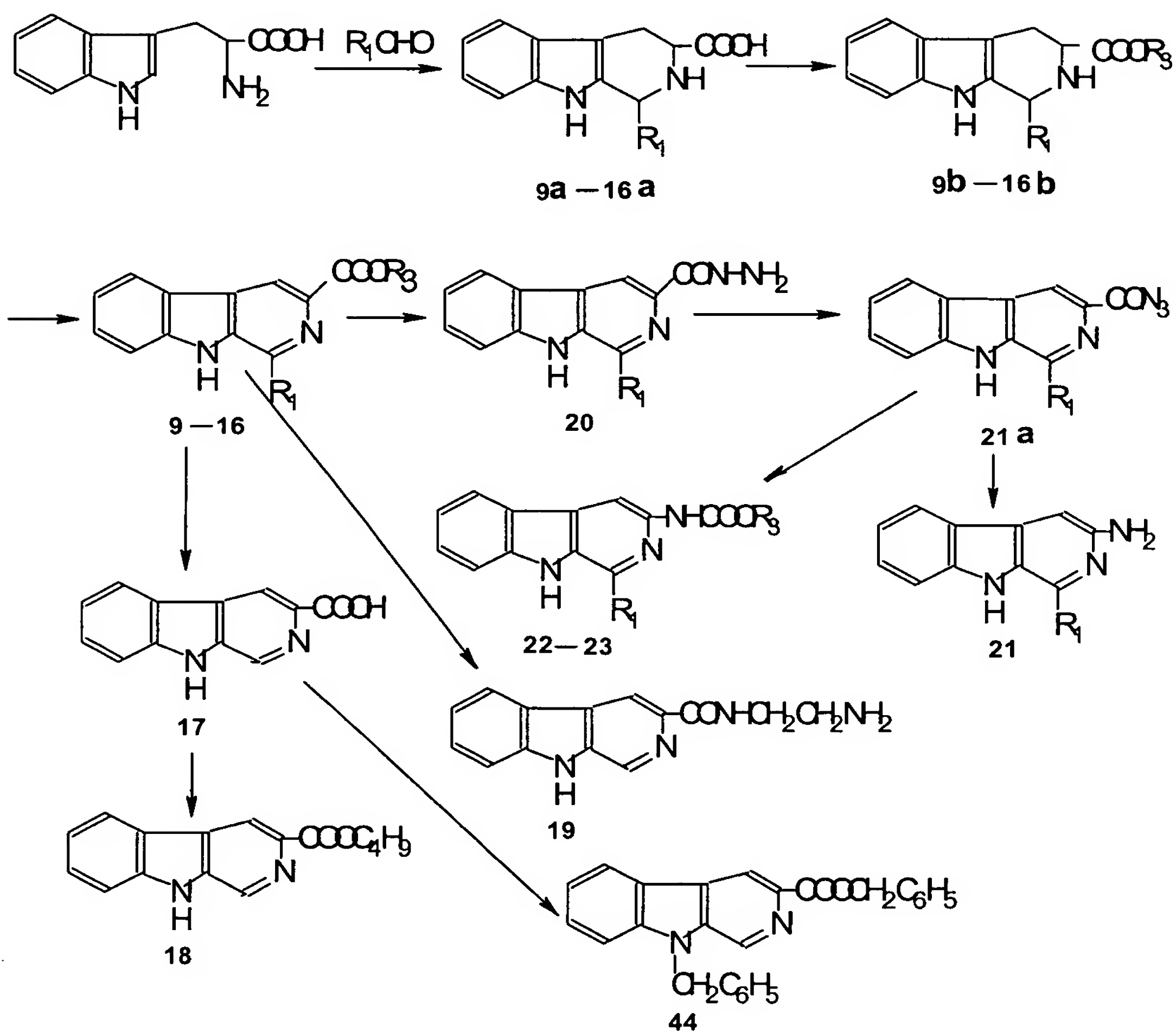
Figure 14 illustrates the anti-tumor effect of β -carboline derivatives on S180 sarcoma.

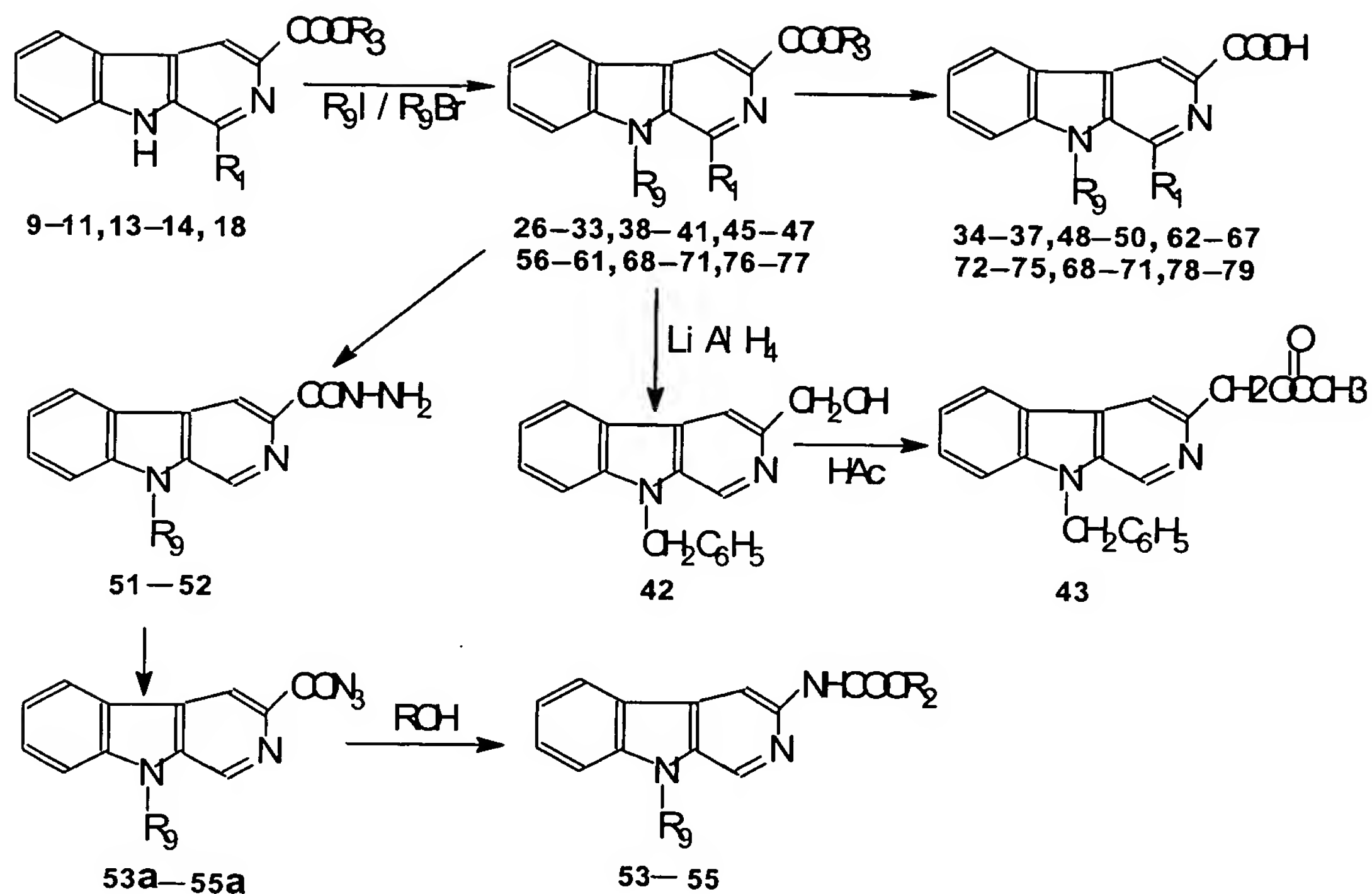
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Synthesis Scheme I



Synthesis Scheme II





Synthesis Scheme III

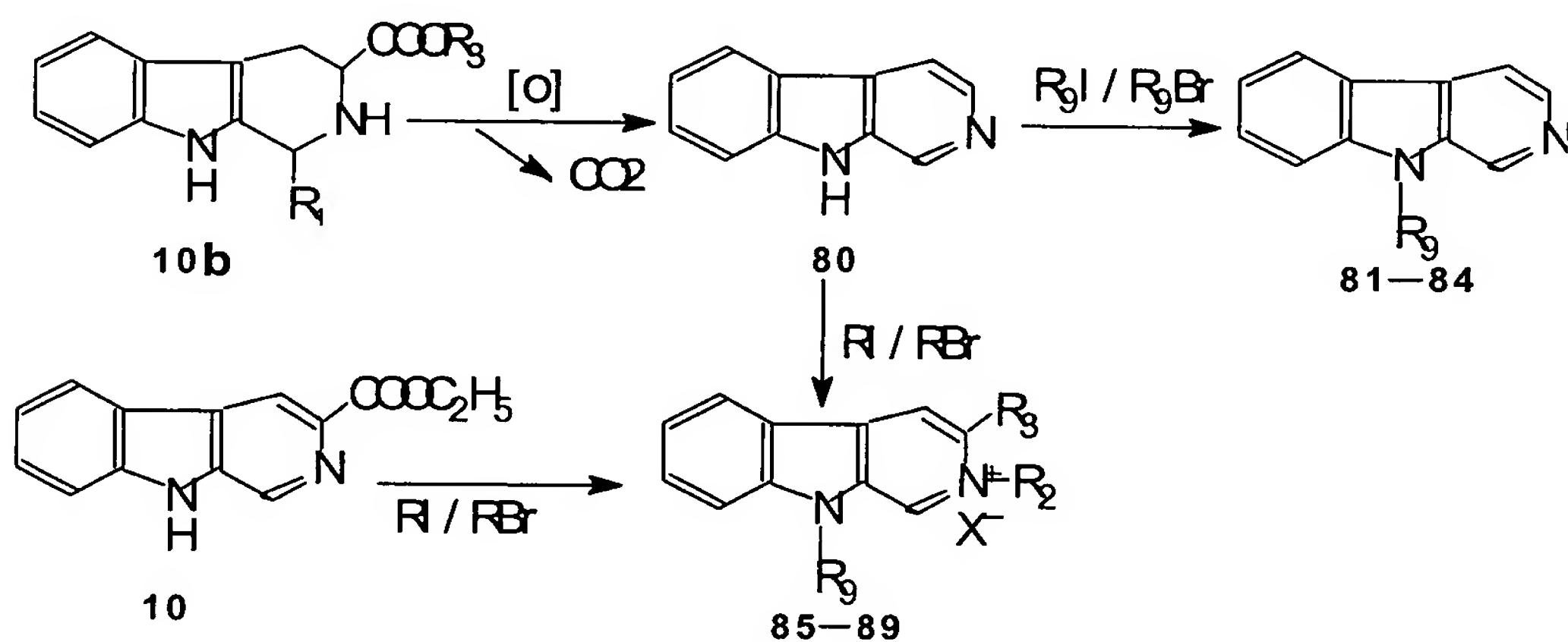


Figure 15 illustrates the synthetic routes of the research of the modification to the structures of β -carboline derivatives.